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10080926
=> d his
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     FILE 'REGISTRY' ENTERED AT 18:46:57 ON 03 JUN 2003
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L1
              4 S L1
L2
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     FILE 'REGISTRY' ENTERED AT 18:48:59 ON 03 JUN 2003
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L3
              2 S L3
L4
     FILE 'STNGUIDE' ENTERED AT 18:50:17 ON 03 JUN 2003
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L5
              9 S L5
L6
     FILE 'STNGUIDE' ENTERED AT 18:53:30 ON 03 JUN 2003
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L7
              8 S L7
L8
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L9
              7 S L9
L10
            372 S L9 SSS FULL
L11
     FILE 'CAPLUS' ENTERED AT 19:06:03 ON 03 JUN 2003
L12
             78 S L11
             10 S L11/THU
L13
             68 S L12 NOT L13
L14
             11 S L14 AND PATENT/DT
L15
L16
             57 S L14 NOT L15
=> d 11
L1 HAS NO ANSWERS
L1
                STR
             G1
                    G1
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Structure attributes must be viewed using STN Express query preparation.

=> d 13 L3 HAS NO ANSWERS

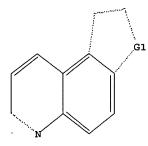
G1 C,O,S,N

L3 STR

G1 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

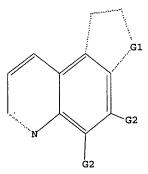
=> d 15 L5 HAS NO ANSWERS L5 STR



G1 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> d 17 L7 HAS NO ANSWERS L7 STR



G1 C,O,S,N G2 C,H,O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> d 19 L9 HAS NO ANSWERS L9 STR

G1 C, O, S, N

G2 C, H, O, S, N

G3 H,O,S,N,C1,Br,F,I,Me,CH2,CH,CF3,CN

Structure attributes must be viewed using STN Express query preparation.

> d 1-10 bib abs hitstr

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L13 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS
     2002:658121 CAPLUS
AN
DN
     137:201294
     Preparation of pyrrologuinolines, pyridoquinolines, pyranoquinolines, and
     related tricyclic compounds as androgen receptor modulators
     Zhi, Lin; Van Oeveren, Cornelis Arjan; Chen, Jyun-Hung; Higuchi, Robert I.
IN
     Ligand Pharmaceuticals Incorporated, USA
     PCT Int. Appl., 132 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN. CNT 1
     PATENT NO.
                        KIND DATE
                                               APPLICATION NO. DATE
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                              _____
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                                                                  _____
     WO 2002066475
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                               20020829
                                               WO 2002-IB537
                                                                  20020223
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     WO 2002066475
                        A3
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              TJ, TM
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     US 2002183346
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                                               US 2002-80926
                                                                  20020222
PRAI US 2001-271189P
                               20010223
os
     MARPAT 137:201294
GT
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *.

Title nonsteroidal tricyclic compds. I-VIII [wherein R1 = H, halo, NO2, OR12, SO0-2R12, NR12R13, or (un) substituted (halo) alkyl or heteroalkyl; R2 = H, halo, Me, CF3, CHF2, CH2F, CF2Cl, CN, CF2OR12, CH2OR12, OR12, SOO-2R12, NR12R13, or (un) substituted (halo) alkyl, heteroalkyl, alkenyl, or alkynyl; R3-R8 = independently H, halo, OR12, NR12R13, S00-2R12, or (un) substituted (halo) alkyl, heteroalkyl, alkenyl, alkynyl, (hetero) aryl, or arylalkyl; or R3R5 or R5R7 = a bond; or C2R4R6 or C2R6R8 = (un) substituted carbocyclic or heterocyclic ring; R9 and R10 = independently H, halo, CN, OR12, NR12R13, Cm(R12)2mOR13, SO0-2R12, NR12COR13, or (un) substituted (halo) alkyl, heteroalkyl, or arylalkyl; R11 = H, halo, CN, OR14, NR14R15, SO0-2R14, CH2R14, COR14, CO2R14, CONR13R14, or (un) substituted (halo) alkyl or heteroalkyl; R12 and R13 = independently H or (un) substituted (halo) alkyl, heteroalkyl, alkenyl, alkynyl, or (hetero) aryl; R14 = H, COR15, CO2R15, CONR15R16, or (un) substituted (halo)alkyl, heteroalkyl, or (hetero)aryl; R15 and R16 = independently H or (un)substituted (halo)alkyl, or heteroalkyl; W = O or S; X = O, S, or NR14; Y = 0, S, NR12, NOR12, or CR12R13; Z = 0, S, or NR12; n = 0-2; m = 0-2; or pharmaceutically acceptable salts thereof] were prepd. as modulators of androgen receptors. For example, cyclization of 6-hydrazino-4-trifluoromethylquinolin-2(1H)-one with 3-pentanone afforded the cis-5,6-dihydro-7H-pyrrolo[3,2-f]quinolin-2(1H)-one. Oxidn. with DDQ in CH2Cl2 gave 6-ethyl-5-methyl-7-(2,2,2-trifluoroethyl)-4-trifluoromethyl-1H-pyrrolo[3,2-f]quinolin-2(1H)-one (IX). The latter exhibited 76% androgen receptor agonist efficacy with a potency (EC50) of 7.6 nM relative to dihydrotestosterone in co-transfection assays using CV-1 cells and displayed androgen receptor binding activity (IC50) of 1.7 nM. Pharmaceutical compns. and formulations of IX are also disclosed. I-VIII are useful for the treatment of acne, male-pattern baldness, impotence, sexual dysfunction, wasting disease, hirsutism, hypogonadism, prostatic hyperplasia, osteoporosis, cancer cachexia, and hormone-dependent cancers (no data). Pharmaceutical compns. and formulations of IX are also disclosed.

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IT 453592-19-3P 453592-20-6P 453592-22-8P 453592-30-8P 453592-41-1P 453592-46-6P 453592-47-7P 453592-52-4P 453592-53-5P 453592-54-6P 453592-55-7P 453592-56-8P 453592-57-9P 453592-71-7P 453592-72-8P RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
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RN 453592-20-6 CAPLUS
CN 7H-Pyrrolo[3,2-f]quinolin-7-one, 3,6-dihydro-2-methyl-1-(1-methylethyl)-9-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 453592-22-8 CAPLUS
CN 7H-Pyrrolo[3,2-f]quinolin-7-one, 3,6-dihydro-1-(4-methoxyphenyl)-2-methyl9-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 453592-30-8 CAPLUS
CN 7H-Pyrrolo[3,2-f]quinolin-7-one, 1,2,3,6-tetrahydro-1,2-dimethyl-3-(2,2,2-trifluoroethyl)-9-(trifluoromethyl)-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 453592-41-1 CAPLUS

CN 7H-Pyrrolo[3,2-f]quinolin-7-one, 2-ethyl-1,2,3,6-tetrahydro-1-methyl-3(2,2,2-trifluoroethyl)-9-(trifluoromethyl)-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN

453592-46-6 CAPLUS
7H-Pyrrolo[3,2-f]quinolin-7-one, 1,2,3,6-tetrahydro-2-methyl-3-(2,2,2-trifluoroethyl)-9-(trifluoromethyl)-1-[3-(trifluoromethyl)phenyl]-, CN (1R, 2R) -rel - (9CI) (CA INDEX NAME)

Relative stereochemistry.

453592-47-7 CAPLUS RN

7H-Pyrrolo[3,2-f]quinolin-7-one, 1-(4-fluorophenyl)-1,2,3,6-tetrahydro-2-methyl-3-(2,2,2-trifluoroethyl)-9-(trifluoromethyl)-, (1R,2R)-rel- (9CI) CN (CA INDEX NAME)

Relative stereochemistry.

RN 453592-52-4 CAPLUS

7H-Pyrrolo[3,2-f]quinolin-7-one, 1-ethyl-1,2,3,6-tetrahydro-2-methyl-3-CN (2,2,2-trifluoroethyl)-9-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN

453592-53-5 CAPLUS
7H-Pyrrolo[3,2-f]quinolin-7-one, 1-ethyl-1,2,3,6-tetrahydro-2-propyl-3-(2,2,2-trifluoroethyl)-9-(trifluoromethyl)- (9CI) (CA INDEX NAME) CN

RN 453592-54-6 CAPLUS
CN 1H-Pyrrolo[3,2-f]quinoline-1-propanoic acid, 2,3,6,7-tetrahydro-2-methyl-7-oxo-3-(2,2,2-trifluoroethyl)-9-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 453592-55-7 CAPLUS CN 7H-Pyrrolo[3,2-f]quinolin-7-one, 2-ethyl-3,6-dihydro-1-methyl- (9CI) (CA INDEX NAME)

RN 453592-56-8 CAPLUS
CN 7H-Pyrrolo[3,2-f]quinolin-7-one, 2-ethyl-1,2,3,6-tetrahydro-1-methyl-3-(2,2,2-trifluoroethyl)-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 453592-57-9 CAPLUS
CN 7H-Pyrrolo[3,2-f]quinolin-7-one, 3,6-dihydro-1,2-dimethyl-3-(2,2,2-trifluoroethyl)-9-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Me
$$NH$$
 F_3C-CH_2

RN 453592-60-4 CAPLUS
CN 7H-Pyrrolo[3,2-f]quinolin-7-one, 2-ethyl-3,6-dihydro-1-methyl-3-(2,2,2-trifluoroethyl)-9-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN

453592-71-7 CAPLUS 7H-Pyrrolo[3,2-f]quinolin-7-one, 2-ethyl-3,6-dihydro-1-(hydroxymethyl)-3-CN (2,2,2-trifluoroethyl)-9-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 453592-72-8 CAPLUS

7H-Pyrrolo[3,2-f]quinolin-7-one, 3,6-dihydro-2-(1-hydroxyethyl)-1-methyl-3-CN (2,2,2-trifluoroethyl)-9-(trifluoromethyl)- (9CI) (CA INDEX NAME)

453592-21-7P 453592-23-9P 453592-42-2P 453592-43-3P 453592-44-4P 453592-45-5P 453592-48-8P 453592-49-9P 453592-50-2P 453592-51-3P 453592-58-0P 453592-59-1P 453592-61-5P 453592-62-6P 453592-67-1P 453592-68-2P 453592-69-3P 453592-73-9P 453592-74-0P 453592-75-1P 453592-76-2P 453592-77-3P 453592-78-4P 453592-79-5P 453593-25-4P 453593-26-5P 453593-30-1P 453593-31-2P 453593-32-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

. (androgen receptor modulator; prepn. of pyrroloquinolines, pyridoquinolines, pyranoquinolines, and related tricyclic compds. as androgen receptor modulators)

453592-21-7 CAPLUS RN

CN

7H-Pyrrolo[3,2-f]quinolin-7-one, 3,6-dihydro-2-methyl-1-(2-propenyl)-9-(trifluoromethyl) - (9CI) (CA INDEX NAME)

453592-23-9 CAPLUS

7H-Pyrrolo[3,2-f]quinolin-7-one, 3,6-dihydro-2-methyl-9-(trifluoromethyl)-CN 1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 453592-42-2 CAPLUS
CN 7H-Pyrrolo[3,2-f]quinolin-7-one, 1-butyl-1,2,3,6-tetrahydro-2-methyl-3-(2,2,2-trifluoroethyl)-9-(trifluoromethyl)-, (1R,2R)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 453592-43-3 CAPLUS
CN 7H-Pyrrolo[3,2-f]quinolin-7-one, 1,2,3,6-tetrahydro-2-methyl-1-(4-nitrophenyl)-3-(2,2,2-trifluoroethyl)-9-(trifluoromethyl)-, (1R,2R)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 453592-44-4 CAPLUS
CN 7H-Pyrrolo[3,2-f]quinolin-7-one, 1-[4-(dimethylamino)phenyl]-1,2,3,6-tetrahydro-2-methyl-3-(2,2,2-trifluoroethyl)-9-(trifluoromethyl)-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 453592-45-5 CAPLUS
CN 7H-Pyrrolo[3,2-f]quinolin-7-one, 1,2,3,6-tetrahydro-1-(4-methoxyphenyl)-2-methyl-3-(2,2,2-trifluoroethyl)-9-(trifluoromethyl)-, (1R,2R)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 453592-48-8 CAPLUS
CN 7H-Pyrrolo[3,2-f]quinolin-7-one, 1,2,3,6-tetrahydro-1-phenyl-3-(2,2,2-trifluoroethyl)-9-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 453592-49-9 CAPLUS
CN 7H-Pyrrolo[3,2-f]quinolin-7-one, 1,2,3,6-tetrahydro-1-(4-methoxyphenyl)-2-methyl-9-(trifluoromethyl)-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 453592-50-2 CAPLUS
CN 7H-Pyrrolo[3,2-f]quinolin-7-one, 3-(2,2-dimethoxyethyl)-1,2,3,6-tetrahydro1-(4-methoxyphenyl)-2-methyl-9-(trifluoromethyl)-, (1R,2R)-rel- (9CI) (CA
INDEX NAME)

Relative stereochemistry.

RN 453592-51-3 CAPLUS
CN 7H-Pyrrolo[3,2-f]quinolin-7-one, 1,2,3,6-tetrahydro-2-methyl-1-(1-methylethyl)-3-(2,2,2-trifluoroethyl)-9-(trifluoromethyl)-, (1R,2R)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

453592-58-0 CAPLUS RN

 $7H-Pyrrolo[3,2-f]\ quinolin-7-one,\ 2-ethyl-3,6-dihydro-1-methyl-3-(2,2,2-dihydro-1-methyl-3-($ CN trifluoroethyl) - (9CI) (CA INDEX NAME)

453592-59-1 CAPLUS

CN 7H-Pyrrolo[3,2-f]quinolin-7-one, 3,6-dihydro-2-methyl-3-(2,2,2trifluoroethyl) - 9-(trifluoromethyl) - (9CI) (CA INDEX NAME)

453592-61-5 CAPLUS RN

7H-Pyrrolo[3,2-f]quinolin-7-one, 1-ethyl-3,6-dihydro-2-methyl-3-(2,2,2-CN trifluoroethyl) -9-(trifluoromethyl) - (9CI) (CA INDEX NAME)

F3C-CH2

RN

453592-62-6 CAPLUS 7H-Pyrrolo[3,2-f]quinolin-7-one, 1-ethyl-3,6-dihydro-2-propyl-3-(2,2,2-CN trifluoroethyl) - 9-(trifluoromethyl) - (9CI) (CA INDEX NAME)

F3C-CH2

RN 453592-67-1 CAPLUS

CN 7H-Pyrrolo[3,2-f]quinolin-7-one, 3,6-dihydro-2-methyl-3-(2,2,2trifluoroethyl)-9-(trifluoromethyl)-1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

F3C-CH2

RN

453592-68-2 CAPLUS
7H-Pyrrolo[3,2-f]quinolin-7-one, 1-(4-fluorophenyl)-3,6-dihydro-2-methyl-3-(2,2,2-trifluoroethyl)-9-(trifluoromethyl)- (9CI) (CA INDEX NAME) CN

F3C-CH2

RN

453592-69-3 CAPLUS 3H-Pyrrolo[3,2-f]quinoline-1-propanoic acid, 6,7-dihydro-2-methyl-7-oxo-3-CN (2,2,2-trifluoroethyl)-9-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX . NAME)

RN453592-73-9 CAPLUS

7H-Pyrrolo[3,2-f]quinolin-7-one, 2-acetyl-3,6-dihydro-1-methyl-3-(2,2,2-trifluoroethyl)-9-(trifluoromethyl)- (9CI) (CA INDEX NAME) CN

RN 453592-74-0 CAPLUS

3H-Pyrrolo[3,2-f]quinoline-1-carboxaldehyde, 6,7-dihydro-2-methyl-7-oxo-3-(2,2,2-trifluoroethyl)-9-(trifluoromethyl)- (9CI) (CA INDEX NAME) CN

RN 453592-75-1 CAPLUS CN 7H-Pyrrolo[3,2-f]quinolin-7-one, 1-[(acetyloxy)methyl]-2-ethyl-3,6-dihydro-3-(2,2,2-trifluoroethyl)-9-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 453592-76-2 CAPLUS
CN 3H-Pyrrolo[3,2-f]quinoline-1-methanol, 7-(acetyloxy)-2-ethyl-3-(2,2,2-trifluoroethyl)-9-(trifluoromethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{CF}_3 \\ \text{Et} \\ \text{N} \end{array}$$

RN 453592-77-3 CAPLUS CN 7H-Pyrrolo[3,2-f]quinolin-7-one, 2-ethyl-3,6-dihydro-3-(2,2,2-trifluoroethyl)-9-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 453592-78-4 CAPLUS
CN 7H-Pyrrolo[3,2-f]quinolin-7-one, 1-(ethoxymethyl)-2-ethyl-3,6-dihydro-3-(2,2,2-trifluoroethyl)-9-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 453592-79-5 CAPLUS
CN 7H-Pyrrolo[3,2-f]quinolin-7-one, 3,6-dihydro-2-(1-methoxyethyl)-1-methyl-3-(2,2,2-trifluoroethyl)-9-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 453593-25-4 CAPLUS
CN 7H-Pyrrolo[3,2-f]quinolin-7-one, 1,2,3,6-tetrahydro-2-(hydroxymethyl)-9-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 453593-26-5 CAPLUS
CN 7H-Pyrrolo[3,2-f]quinolin-7-one, 3-ethyl-1,2,3,6-tetrahydro-2-(hydroxymethyl)-9-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 453593-30-1 CAPLUS
CN 7H-Pyrrolo[3,2-f]quinolin-7-one, 3,6-dihydro-1-methyl-3-(2,2,2-trifluoroethyl)-9-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 453593-31-2 CAPLUS
CN 3H-Pyrrolo[3,2-f]quinoline-2-carboxaldehyde, 6,7-dihydro-1-methyl-7-oxo-3-(2,2,2-trifluoroethyl)-9-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 453593-32-3 CAPLUS
CN 7H-Pyrrolo[3,2-f]quinolin-7-one, 3-(2,2-difluoroethenyl)-3,6-dihydro-1,2-dimethyl-9-(trifluoromethyl)- (9CI) (CA INDEX NAME)

GI

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ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS
AN
     2002:575080 CAPLUS
     137:149339
DN
     Anti-cancer 8-substituted quinolines and 2,3-dihydro-1H-pyrrolo[3,2-
TI
     f]quinoline complexes of cobalt and chromium
     Denny, William Alexander; Wilson, William Robert; Ware, David Charles;
ΙN
     Atwell, Graham John; Milbank, Jared Bruce John; Stevenson, Ralph James Auckland Uniservices Limited, N. Z.
PΑ
so
     PCT Int. Appl., 97 pp.
     CODEN: PIXXD2
DТ
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     English
FAN.CNT 1
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                            DATE
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PΤ
     WO 2002059122
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             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
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PRAI NZ 2001-509540
                       Α
                             20010124
     CASREACT 137:149339; MARPAT 137:149339
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This invention relates to heterocycles, e.g., 8-substituted quinolines and 2,3-dihydro-1H-pyrrolo[3,2-f]quinolines, and their metal complexes, and is AB particularly concerned using these compds. in the prepn. of prodrugs or as prodrugs that may be activated under hypoxic conditions by enzymes, non-enzymic endogenous reducing agents, or by therapeutic ionizing radiation, in the treatment of cancer. Selected ligands and their cobalt(III) or chromium(III) complexes were evaluated for cytotoxicity in mammalian cell lines (AA8 Chinese hamster ovarian line, UV4 cell line as repair-defective ERCC-1 mutant, EMT6 murine mammary carcinoma line, and SKOV3 human ovarian cancer line). Thus, cobalt(III) cyclen complex I(ClO4)2 (M1) was prepd. and evaluated for cytotoxicity relative to the cytotoxic 2,3-dihydro-1H-pyrrolo[3,2-f]quinoline free ligand. Complexation of the 2,3-dihydro-1H-pyrrolo[3,2-f]quinoline in M1 resulted in considerable abrogation of cytotoxicity, indicating the utility of this approach in forming less toxic prodrugs of these cytotoxins. Complex M1 was also able to release the cytotoxic ligand in good yield when exposed to ionizing radiation in deoxygenated sodium formate buffer; a mechanism of activation of the M1 prodrug is briefly discussed. The invention also relates to the use of these heterocycles and the corresponding metal

complexes in the prepn. of medicaments and to compns. including the heterocycles or their metal complexes and to methods for prepg. these compds.

IT 444565-29-1P 444565-30-4P 444565-31-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Riological study); PREP (Preparation); USES (Uses)

(Biological study); PREP (Preparation); USES (Uses)
 (for prepn. of 2,3-dihydro-1H-pyrrolo[3,2-f]quinoline complexes of
 cobalt and chromium as anti-cancer prodrugs)

RN 444565-29-1 CAPLUS

CN 1H-Pyrrolo[3,2-f]quinolin-5-ol, 1-(chloromethyl)-2,3-dihydro-3-[(5-methoxy-1H-indol-2-yl)carbonyl]- (9CI) (CA INDEX NAME)

RN 444565-30-4 CAPLUS

CN 1H-Pyrrolo[3,2-f]quinolin-5-ol, 1-(chloromethyl)-2,3-dihydro-3-[(2E)-3-(4-methoxyphenyl)-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 444565-31-5 CAPLUS

CN 1H-Pyrrolo[3,2-f]quinolin-5-ol, 1-(chloromethyl)-2,3-dihydro-3-[(2E)-3-(3-hydroxy-4-methoxyphenyl)-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT 444565-27-9P 444565-28-0P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(intermediate; prepn. of 2,3-dihydro-1H-pyrrolo[3,2-f]quinoline complexes of cobalt and chromium as anti-cancer prodrugs)

RN 444565-27-9 CAPLUS

CN

1H-Pyrrolo[3,2-f]quinolin-5-ol, 1-(chloromethyl)-3-[[5-[2-(dimethylamino)ethoxy]-1H-indol-2-yl]carbonyl]-2,3-dihydro-(9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{C1CH}_2 \\ \text{H} \\ \text{O} \\ \text{N} \\ \text{OH} \end{array}$$

RN 444565-28-0 CAPLUS

1H-Pyrrolo[3,2-f]quinolin-5-ol, 1-(chloromethyl)-3-[(2E)-3-[4-[2-(dimethylamino)ethoxy]phenyl]-1-oxo-2-propenyl]-2,3-dihydro- (9CI) (CI INDEX NAME)

Double bond geometry as shown.

IT 444565-08-6P

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn., cytotoxicity, and complexation with cobalt or chromium as $anti-cancer\ prodrugs$)

RN 444565-08-6 CAPLUS

CN 1H-Pyrrolo[3,2-f]quinolin-5-ol, 1-(chloromethyl)-2,3-dihydro-3-[(5,6,7-trimethoxy-1H-indol-2-yl)carbonyl]- (9CI) (CA INDEX NAME)

IT 444565-16-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (prepn., cytotoxicity, and complexation with cobalt or chromium as anti-cancer prodrugs)

RN 444565-16-6 CAPLUS

CN 1H-Pyrrolo[3,2-f]quinolin-5-amine, 1-(chloromethyl)-2,3-dihydro-3-[(5,6,7-trimethoxy-1H-indol-2-yl)carbonyl]- (9CI) (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2003 ACS

AN 2002:185120 CAPLUS

DN 136:232284

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Preparation of pyrrolo[3,2-f]quinolin-2-ones as CDK4 inhibitors
ТI
IN
    Dickerson, Scott Howard; Drewry, David Harold
PA
     Glaxo Group Limited, UK
so
     PCT Int. Appl., 52 pp.
     CODEN: PIXXD2
דת
     Patent
     English
LА
FAN.CNT 1
                                           APPLICATION NO. DATE
     PATENT NO.
                      KIND
                            DATE
     WO 2002020524
                       A1
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                                           WO 2001-US20703
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                       A5
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     EP 1313732
                       A1
                            20030528
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PRAI US 2000-230241P
                      P
                            20000901
     WO 2001-US20703
                       W
                            20010628
os
    MARPAT 136:232284
GI
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Oxindole derivs., specifically pyrrolo[3,2-f]quinolin-2-ones I [R1 = 0.00]AR (CR4R5)nNR2R3 and n = 1, 2; R2, R3 = H, alkyl, alkenyl, cycloalkyl,heterocyclyl, etc.; R4, R5 = H, alkyl, heterocyclyl, CH2Ph, Ph, etc.], which are useful as CDK4 inhibitors, are described herein. E.g., prepn. of 1-[(Z)-(4-dimethylaminomethylanilino)methylidene]-1,3-dihydro-2Hpyrrolo[3,2-f]quinoline-2-one by reaction of dimethylaminomethylidene-1,3dihydro-2H-pyrrolo[3,2-f]quinolin-2-one with 4-dimethylaminomethylaniline is described. Methods of using the same compds. in the treatment of hyperproliferative diseases was described. 403713-22-4P 403713-23-5P 403713-24-6P 403713-26-8P 403713-27-9P 403713-28-0P 403713-29-1P 403713-30-4P 403713-32-6P 403713-34-8P 403713-36-0P 403713-38-2P 403713-40-6P 403713-42-8P 403713-43-9P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of pyrrolo[3,2-f]quinolin-2-ones as CDK4 inhibitors) RN 403713-22-4 CAPLUS $2H-Pyrrolo[3,2-f] quinolin-2-one, \ 1-[[4-[(dimethylamino)methyl]phenyl]amino]methylene]-1,3-dihydro-, \ (1Z)- (9CI) \ \ (CA INDEX NAME)$

Ι

2H-Pyrrolo[3,2-f]quinolin-2-one, 1-[[[4-[(diethylamino)methyl]phenyl]amino]methylene]-1,3-dihydro-, (1Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 403713-24-6 CAPLUS

2H-Pyrrolo[3,2-f]quinolin-2-one, 1-[[[4-[(ethylmethylamino)methyl]phenyl]a CNmino]methylene]-1,3-dihydro-, (1Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 403713-26-8 CAPLUS

2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[[4-[(methylpropylamino)methyl]phenyl]amino]methylene]-, (1Z)- (9CI) (CA CN INDEX NAME)

Double bond geometry as shown.

403713-27-9 CAPLUS RN

CN 2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[[4-[[methyl(1methylethyl)amino]methyl]phenyl]amino]methylene]-, (1Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN

403713-28-0 CAPLUS 2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[[4-[[methyl(2-CN methylpropyl)amino]methyl]phenyl]amino]methylene]-, (1Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

403713-29-1 CAPLUS RN

2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[[4-[[methyl(phenylmethyl)amino]methyl]phenyl]amino]methylene]-, (1Z)- (9CI) CN (CA INDEX NAME)

Double bond geometry as shown.

403713-30-4 CAPLUS

2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[[4-[[(2-CNhydroxyethyl) methylamino] methyl] phenyl] amino] methylene] -, (1Z) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN

403713-32-6 CAPLUS 2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[[4-[[(2-CN methoxyethyl)methylamino]methyl]phenyl]amino]methylene]-, (1Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 403713-34-8 CAPLUS

2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[[4-(4-CNmorpholinylmethyl)phenyl]amino]methylene]-, (12)- (9CI) (CA INDEX NAME)

403713-36-0 CAPLUS RN

2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[[4-(1-CN piperidinylmethyl)phenyl]amino]methylene]-, (1Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

403713-38-2 CAPLUS RN

 $2 \\ H-Pyrrolo[3,2-f] \\ quinolin-2-one, 1,3-dihydro-1-[[[4-[(4-hydroxy-1-ingle-ingl$ CN piperidinyl)methyl]phenyl]amino]methylene]-, (1Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN403713-40-6 CAPLUS

2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[[4-[(4-methyl-1-piperazinyl)methyl]phenyl]amino]methylene]-, (1Z)- (9CI) (CA INDEX NAME) CN

Double bond geometry as shown.

RN

403713-42-8 CAPLUS 2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[[4-(1H-imidazol-1-CNylmethyl)phenyl]amino]methylene]-, (1Z)- (9CI) (CA INDEX NAME)

RN 403713-43-9 CAPLUS
CN 2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[[4-[[methyl(1-methyl-4-piperidinyl)amino]methyl]phenyl]amino]methylene]-, (1Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PRAI GB 1999-4933

GI

US 2000-514528

WO 2000-US5057

MARPAT 133:266726

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 4 OF 10 CAPLUS COPYRIGHT 2003 ACS
L13
     2000:688216 CAPLUS
DN
     133:266726
     Preparation of 3-(anilinomethylene)oxindoles and analogs as protein
TI
     tyrosine kinase and protein serine/threonine kinase inhibitors
IN
     Glennon, Kimberley Caroline; Kuyper, Lee Frederick; Lackey, Karen
     Elizabeth; McNutt, Robert Walton, Jr.
     Glaxo Group Limited, UK
PΑ
SO
     PCT Int. Appl., 189 pp.
     CODEN: PIXXD2
DT
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     English
LA
FAN.CNT 1
     PATENT NO.
                       KIND
                            DATE
                                             APPLICATION NO.
                                                              DATE
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                            20020102
                                             EP 2000-913643 20000228
     EP 1165514
                        A1
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                             20020725
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                        A1
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19990304

20000228

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Α

A3

W

S NH NH NH O NH NH O II

The title compds. (I) [wherein X = N, CH, CCF3, or C(aliph.); Y, Z, A, and AB D = C or N, and the no. of N .ltoreq. 1; R1 = H, aliph., SH, hydroxy(aliph.), aryl(aliph.), cycloalkyl(aliph.), heterocyclyl(aliph.), (un)substituted NH2, CONH2, or SO2NH2, alkoxycarbonyl, halo, CN, or NO2; R2 = H, aliph., hydroxyimino aliph., alkoxy(carbonyl), hydroxyaliph. aryl(oxycarbonyl), heterocyclyl, (un) substituted CONH2, NH2, or SO2NH2, halo, OH, NO2, aliph. sulfonyl, etc.; or R1 and R2 are joined to form an (un) substituted fused heterocyclic ring; R3 = H, aliph., hydroxy(aliph.), (un) substituted NH2, CONH2, or SO2NH2, alkoxy, aryl(oxy), hydroxyaryl, (hydroxy) heterocyclyl, heterocyclyloxy, or halo; or R2 and R3 are joined to form an (un) substituted fused heterocyclic ring; R4 = SO3H, (aliph.) sulfonyl (aliph.), (un) substituted SO2NH2, NH2, CONH2, etc.; R5 = H; or R4 and R5 are joined to form an (un) substituted fused heterocyclic ring] were prepd. via std. synthetic methods and soln. phase library techniques as vascular endothelial growth factor receptor type 2 (VEGFR-2), cyclin dependent kinase 2 (CDK2), tyrosine kinase Tie-2 receptor, and colony-stimulating factor 1 receptor kinase (c-fms) inhibitors. For example, a mixt. of 8-dimethylaminomethylene-6,8-dihydro-1-thia-3,6-diaza-as-indacene-7-one (prepn. given) and 2-(4-aminophenyl)-3methylpyrazolin-5-one in abs. EtOH was heated with stirring at 90.degree.C for 16 h to give (Z)-II (83%). In substrate phosphorylation assays, II inhibited VEGFR-2 and CDK2 with IC50 values of 1-10 .mu.M and 11-50 .mu.M, resp. I are useful as therapeutic agents in disease states alleviated by the inhibition or antagonism of protein kinase activated signalling pathways in general, and in particular in the pathol. processes which involve aberrant cellular proliferation, such as tumor growth, restenosis, atherosclerosis, and thrombosis. I are particularly useful for suppressing tumor growth by inhibiting tumor-related angiogenesis. 297754-16-6P, 1-[(3-Methoxyanilino)methylidene]-1,3-dihydro-2Hpyrrolo[3,2-f]quinolin-2-one 297754-17-7P, 3-[[(2-0xo-2,3dihydro-1H-pyrrolo[3,2-f]quinolin-1-ylidene)methyl]amino]benzonitrile 297754-18-8P, 1-(4-Toluidinomethylidene)-1,3-dihydro-2Hpyrrolo[3,2-f]quinolin-2-one 297754-19-9P, 1-[(4-Methoxyanilino) methylidene]-1,3-dihydro-2H-pyrrolo[3,2-f]quinolin-2-one 297754-31-5P, 1-[[4-(4-Morpholinyl)anilino]methylidene]-1,3dihydro-2H-pyrrolo[3,2-f]quinolin-2-one 297754-32-6P 297754-33-7P, N-[4-[[(2-0xo-2,3-dihydro-1H-pyrrolo[3,2-f]quinolin-1-ylidene)methyl]amino]phenyl]acetamide 297754-34-8P 297754-35-9P, 4-[[(2-0xo-2,3-dihydro-1H-pyrrolo[3,2-f]quinolin-1ylidene)methyl]amino]benzamide 297754-36-0P 297754-64-4P 1-[(4-Phenoxyanilino)methylidene]-1,3-dihydro-2H-pyrrolo[3,2-f]quinolin-2-one 297754-65-5P 297754-68-8P, Methyl 4-[4-[[(2-0xo-2,3-dihydro-1H-pyrrolo[3,2-f]quinolin-1ylidene)methyl]amino]phenoxy]benzoate 297754-69-9P, Methyl 3-[4-[[(2-0xo-2,3-dihydro-1H-pyrrolo[3,2-f]quinolin-1ylidene) methyl] amino] phenoxy] benzoate 297754-72-4P, 3-Ethyl-3-[4-[[(2-oxo-2,3-dihydro-1H-pyrrolo[3,2-f]quinolin-1ylidene)methyl]amino]phenyl]-2,6-piperidinedione 297754-75-7P, 1-[(4-Benzoylanilino)methylidene]-1,3-dihydro-2H-pyrrolo[3,2-f]quinolin-2one 297754-77-9P, 1-[[3-(Hydroxymethyl)anilino]methylidene]-1,3dihydro-2H-pyrrolo[3,2-f]quinolin-2-one 297754-80-4P 297754-81-5P, 3-[[(2-0xo-2,3-dihydro-1H-pyrrolo[3,2-f]quinolin-1ylidene) methyl] amino] benzamide 297754-82-6P, 4-[[(2-0xo-2,3-dihydro-1H-pyrrolo[3,2-f]quinolin-1ylidene)methyl]amino]benzonitrile 297754-83-7P, Methyl 4-[[(2-oxo-2,3-dihydro-1H-pyrrolo[3,2-f]quinolin-1ylidene) methyl] amino] benzoate 297754-87-1P, 1-[[4-[[2-

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(Diethylamino) ethyl] sulfonyl] anilino] methylidene] -1H-pyrrolo[3,2-
      f]quinolin-2(3H)-one 297756-51-5P, 1-[(Z)-(3-
      Methoxyanilino) methylidene] -1,3-dihydro-2H-pyrrolo[3,2-f]quinolin-2-one
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     297756-62-8P 297756-63-9P 297756-64-0P 297756-65-1P 297756-66-2P 297756-67-3P,
      1-[(Z)-(4-Hydroxyanilino)methylidene]-1,3-dihydro-2H-pyrrolo[3,2-
     f]quinolin-2-one 297756-91-3P, 1-[(2)-(4-Phenoxyanilino)methylidene]-1,3-dihydro-2H-pyrrolo[3,2-f]quinolin-2-one
      297756-92-4P, 1-[(Z)-[4-(Benzyloxy)anilino]methylidene]-1,3-
      dihydro-2H-pyrrolo[3,2-f]quinolin-2-one 297756-93-5P
      297756-94-6P 297756-95-7P 297756-96-8P,
      1-[(Z)-(4-Benzoylanilino)methylidene]-1,3-dihydro-2H-pyrrolo[3,2-
      f]quinolin-2-one 297756-97-9P 297756-98-0P
      297756-99-1P 297757-00-7P 297757-01-8P
      297757-02-9P 297757-04-1P
      RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
         (prepn. of anilinomethylene oxindolones and analogs as protein tyrosine
         kinase and protein serine/threonine kinase inhibitors by alkylation and amination of oxindolones via std. or soln. phase library methods)
     297754-16-6 CAPLUS
RN
      2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[(3-
CN
     methoxyphenyl)amino]methylene] - (9CI) (CA INDEX NAME)
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RN 297754-17-7 CAPLUS
CN Benzonitrile, 3-[[(2,3-dihydro-2-oxo-1H-pyrrolo[3,2-f]quinolin-1-ylidene)methyl]amino]- (9CI) (CA INDEX NAME)

RN 297754-18-8 CAPLUS
CN 2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[(4-methylphenyl)amino]methylene]- (9CI) (CA INDEX NAME)

RN 297754-19-9 CAPLUS
CN 2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[(4-methoxyphenyl)amino]methylene]- (9CI) (CA INDEX NAME)

RN 297754-31-5 CAPLUS
CN 2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[[4-(4-morpholinyl)phenyl]amino]methylene]- (9CI) (CA INDEX NAME)

RN 297754-32-6 CAPLUS
CN 2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[[4-(4-pyridinylamino)phenyl]amino]methylene]- (9CI) (CA INDEX NAME)

297754-33-7 CAPLUS

Acetamide, N-[4-[[(2,3-dihydro-2-oxo-1H-pyrrolo[3,2-f]quinolin-1-ylidene)methyl]amino]phenyl]- (9CI) (CA INDEX NAME) CN

297754-34-8 CAPLUS

2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[[4-(2-hydroxyethyl)phenyl]amino]methylene]- (9CI) (CA INDEX NAME)

RN

297754-35-9 CAPLUS
Benzamide, 4-[[(2,3-dihydro-2-oxo-1H-pyrrolo[3,2-f]quinolin-1-ylidene)methyl]amino]- (9CI) (CA INDEX NAME) CN

RN 297754-36-0 CAPLUS
CN 2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[(4-hydroxyphenyl)amino]methylene]- (9CI) (CA INDEX NAME)

RN 297754-64-4 CAPLUS
CN 2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[(4-phenoxyphenyl)amino]methylene]- (9CI) (CA INDEX NAME)

RN 297754-65-5 CAPLUS
CN 2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[[4(phenylmethoxy)phenyl]amino]methylene]- (9CI) (CA INDEX NAME)

RN 297754-68-8 CAPLUS
CN Benzoic acid, 4-[4-[[(2,3-dihydro-2-oxo-1H-pyrrolo[3,2-f]quinolin-1-ylidene)methyl]amino]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 297754-69-9 CAPLUS
CN Benzoic acid, 3-[4-[[(2,3-dihydro-2-oxo-1H-pyrrolo[3,2-f]quinolin-1-ylidene)methyl]amino]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

RN

297754-72-4 CAPLUS
2,6-Piperidinedione, 3-[4-[[(2,3-dihydro-2-oxo-1H-pyrrolo[3,2-f]quinolin-1-ylidene)methyl]amino]phenyl]-3-ethyl- (9CI) (CA INDEX NAME) CN

297754-75-7 CAPLUS
2H-Pyrrolo[3,2-f]quinolin-2-one, 1-[[(4-benzoylphenyl)amino]methylene]-1,3-dihydro- (9CI) (CA INDEX NAME) CN

CN

RN

297754-77-9 CAPLUS
2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[[3-(hydroxymethyl)phenyl]amino]methylene]- (9CI) (CA INDEX NAME)

RN 297754-80-4 CAPLUS
CN 2H-Pyrrolo[3,2-f]quinolin-2-one, 1-[[[4-(2,3-dihydro-5-methyl-3-oxo-1H-pyrazol-1-yl)phenyl]amino]methylene]-1,3-dihydro- (9CI) (CA INDEX NAME)

RN 297754-81-5 CAPLUS
CN Benzamide, 3-[[(2,3-dihydro-2-oxo-1H-pyrrolo[3,2-f]quinolin-1-ylidene)methyl]amino]- (9CI) (CA INDEX NAME)

RN 297754-82-6 CAPLUS
CN Benzonitrile, 4-[[(2,3-dihydro-2-oxo-1H-pyrrolo[3,2-f]quinolin-1-ylidene)methyl]amino]- (9CI) (CA INDEX NAME)

RN 297754-83-7 CAPLUS
CN Benzoic acid, 4-[[(2,3-dihydro-2-oxo-1H-pyrrolo[3,2-f]quinolin-1-ylidene)methyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 297754-87-1 CAPLUS
CN 2H-Pyrrolo[3,2-f]quinolin-2-one, 1-[[[4-[[2-(diethylamino)ethyl]sulfonyl]phenyl]amino]methylene]-1,3-dihydro- (9CI) (CA INDEX NAME)

RN 297756-51-5 CAPLUS
CN 2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[(3-methoxyphenyl)amino]methylene]-, (1Z)- (9CI) (CA INDEX NAME)

RN

297756-52-6 CAPLUS
Benzonitrile, 3-[[(Z)-(2,3-dihydro-2-oxo-1H-pyrrolo[3,2-f]quinolin-1-CN ylidene)methyl]amino] - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

297756-53-7 CAPLUS RN

2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[(4-methylphenyl)amino]methylene]-, (1Z)- (9CI) (CA INDEX NAME) CN

Double bond geometry as shown.

297756-54-8 CAPLUS

2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[(4-methoxyphenyl)amino]methylene]-, (1Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 297756-62-8 CAPLUS

2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[[4-(4-morpholinyl)phenyl]amino]methylene]-, (1Z)- (9CI) (CA INDEX NAME) CN

RN 297756-63-9 CAPLUS

CN 2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[[4-(4-pyridinylmethyl)phenyl]amino]methylene]-, (1Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 297756-64-0 CAPLUS

CN Acetamide, N-[4-[[(Z)-(2,3-dihydro-2-oxo-1H-pyrrolo[3,2-f]quinolin-1-ylidene)methyl]amino]phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 297756-65-1 CAPLUS

CN 2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[[4-(2-hydroxyethyl)phenyl]amino]methylene]-, (1Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 297756-66-2 CAPLUS

CN Benzamide, 4-[[(Z)-(2,3-dihydro-2-oxo-1H-pyrrolo[3,2-f]quinolin-1-ylidene)methyl]amino]- (9CI) (CA INDEX NAME)

297756-67-3 CAPLUS 2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[(4-RN CN hydroxyphenyl)amino]methylene]-, (1Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

297756-91-3 CAPLUS RN 2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[(4phenoxyphenyl) amino] methylene] -, (1Z) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 297756-92-4 CAPLUS

2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[[4-CN (phenylmethoxy) phenyl] amino] methylene] -, (1Z) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN

297756-93-5 CAPLUS
Benzoic acid, 4-[4-[[(Z)-(2,3-dihydro-2-oxo-1H-pyrrolo[3,2-f]quinolin-1-ylidene)methyl]amino]phenoxy]-, methyl ester (9CI) (CA INDEX NAME) CN

RN 297756-94-6 CAPLUS

Benzoic acid, 3-[4-[[(Z)-(2,3-dihydro-2-oxo-1H-pyrrolo[3,2-f]quinolin-1ylidene)methyl]amino]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

297756-95-7 CAPLUS

2,6-Piperidinedione, 3-[4-[[(Z)-(2,3-dihydro-2-oxo-1H-pyrrolo[3,2-CN f]quinolin-1-ylidene)methyl]amino]phenyl]-3-ethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN

297756-96-8 CAPLUS 2H-Pyrrolo[3,2-f]quinolin-2-one, 1-[[(4-benzoylphenyl)amino]methylene]-1,3-CN dihydro-, (1Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

297756-97-9 CAPLUS RN

CN

2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[[3-(hydroxymethyl)phenyl]amino]methylene]-, (1Z)- (9CI) (CA INDEX NAME)

RN 297756-98-0 CAPLUS

CN 2H-Pyrrolo[3,2-f]quinolin-2-one, 1-[[[4-(2,3-dihydro-5-methyl-3-oxo-1H-pyrazol-1-yl)phenyl]amino]methylene]-1,3-dihydro-, (1Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 297756-99-1 CAPLUS

CN 2H-Pyrrolo[3,2-f]quinolin-2-one, 1,3-dihydro-1-[[[4-[(1E)-2-(4-hydroxyphenyl)ethenyl]phenyl]amino]methylene]-, (1E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A

RN 297757-00-7 CAPLUS

CN Benzamide, 3-[[(Z)-(2,3-dihydro-2-oxo-1H-pyrrolo[3,2-f]quinolin-1-ylidene)methyl]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 297757-01-8 CAPLUS

CN Benzonitrile, 4-[[(Z)-(2,3-dihydro-2-oxo-1H-pyrrolo[3,2-f]quinolin-1-ylidene)methyl]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 297757-02-9 CAPLUS

CN Benzoic acid, 4-[(Z)-(2,3-dihydro-2-oxo-1H-pyrrolo[3,2-f]quinolin-1-ylidene)methyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 297757-04-1 CAPLUS

CN 2H-Pyrrolo[3,2-f]quinolin-2-one, 1-[[[4-[[2-(diethylamino)ethyl]sulfonyl]p
henyl]amino]methylene]-1,3-dihydro-, (1Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2003 ACS AN 2000:454835 CAPLUS

RN

CN

DN 133:171758 Pyrrolo-quinoline derivatives as potential antineoplastic drugs TI Ferlin, M. G.; Gatto, B.; Chiarelotto, G.; Palumbo, M. ΑU Department of Pharmaceutical Sciences, University of Padova, Padua, 35131, CS SO Bioorganic & Medicinal Chemistry (2000), 8(6), 1415-1422 CODEN: BMECEP; ISSN: 0968-0896 PB Elsevier Science Ltd. DT Journal LΑ English AB

Some novel pyrrolo-quinoline derivs. have been synthesized as potential antineoplastic agents. They contain an angular arom. tricyclic or tetracyclic system, to which the methanesulfon-anisidine side chain typical of amsacrine as such, or lacking the m-methoxy substituent, is connected. A Me group can be present at position 7 of the pyrrolo-quinoline ring. The novel compds. exhibit interesting cell growth inhibitory properties when tested against the NCI panel of cell lines, in particular those obtained from solid tumors like CNS-, melanoma- and prostate-derived cells. The mechanism of cytotoxic action does not seem to be related to topoisomerase II poisoning ability. Most active proved to be compd. 4a, which lacks both Me and methoxy substituents, followed by 5a, having the methoxy group only. Biol. activity is less pronounced in the tetracyclic family of derivs. 6 and 7.

IT 288570-10-5P 288570-11-6P 288570-12-7P
288570-13-8P 288570-14-9P 288570-15-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pyrrolo-quinoline derivs. as potential antineoplastic drugs)
288570-10-5 CAPLUS
3H-Pyrrolo[3,2-f]quinoline, 9-chloro- (9CI) (CA INDEX NAME)

RN 288570-11-6 CAPLUS CN 3H-Pyrrolo[3,2-f]quinoline, 9-chloro-7-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \text{Me} \\ \\ \text{N} \end{array}$$

RN 288570-12-7 CAPLUS
CN Methanesulfonamide, N-[4-(3H-pyrrolo[3,2-f]quinolin-9-ylamino)phenyl]-,
monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 288570-13-8 CAPLUS
CN Methanesulfonamide, N-[4-[(7-methyl-3H-pyrrolo[3,2-f]quinolin-9-yl)amino]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 288570-14-9 CAPLUS

Methanesulfonamide, N-[3-methoxy-4-(3H-pyrrolo[3,2-f]quinolin-9-ylamino)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 288570-15-0 CAPLUS

CN Methanesulfonamide, N-[3-methoxy-4-[(7-methyl-3H-pyrrolo[3,2-f]quinolin-9yl)amino]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2003 ACS

AN 1999:736646 CAPLUS

DN 131:336827

TI Novel substituted cyclic compounds, particularly N-[2-(1-naphthyl)ethyl]acetamides and analogs with melatonin receptor activity, preparation method, and pharmaceutical compositions containing them

IN Lesieur, Daniel; Klupsch, Frederique; Guillaumet, Gerald; Viaud, Marie-Claude; Langlois, Michel; Bennejean, Caroline; Renard, Pierre; Delagrange, Philippe

PA Adir Et Compagnie, Fr.

SO PCT Int. Appl., 167 pp.

CODEN: PIXXD2

DT Patent

LA French

FAN.CNT 2

ΡI

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9958495 Al 19991118 WO 1999-FR1100 19990510

W: AU, BR, CA, CN, HU, JP, NO, NZ, PL, US, ZA

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RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
                                                              19980512
     FR 2778662
                             19991119
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                       B1
                             20000616
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     AU 9936103
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     EP 1077927
                       A1
                             20010228
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
                                            BR 1999-11771
                                                              19990510
     BR 9911771
                             20011002
                       Α
                                            JP 2000-548299
                                                              19990510
     JP 2002514619
                       T2
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                                            NZ 1999-507691
                                                              19990510
     NZ 507691
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     NO 2000005714
                             20001113
                                            NO 2000-5714
                                                              20001113
PRAI FR 1998-5957
                             19980512
     WO 1999-FR1100
                             19990510
     MARPAT 131:336827
GΙ
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$$H_3C$$
 N
 H
 SMe

The invention concerns compds. of formula R-A-R' [I; wherein: A = various bi- and tricyclic carbo- and heterocyclic systems; R = SH and various derivs. such as thioethers, sulfoxides, and sulfones, (un) substituted amino, or may form ring with A; R' = (CH2)nR2 where n = 0-4 and R2 =various amide-contg. groups] and their stereoisomers and salts. The compds. are ligands of melatonin receptors, and are useful for prepg. medicines for treating a variety of melatonin-related conditions, such as seasonal depression, anxiety, sleep and eating disorders, etc. For instance, title compd. II was prepd. in 7 steps: (1) acylation of thioanisole with succinic anhydride to give 4-[4-(methylthio)phenyl]-4oxobutanoic acid; (2) redn. of oxo with Et3SiH; (3) cyclization to form a 1-naphthalenone; (4) Wittig reaction with di-Et cyanomethylphosphonate; (5) dehydrogenation with sulfur at 230.degree.; (6) redn. of the nitrile with BH3.THF; and (7) N-acetylation of the resulting amine with AcCl. Compds. I showed little or no oral toxicity in mice, and bound strongly to mt1 and MT2 receptors in vitro, with IC50 values .ltoreq. 10 .mu.M. The compds. also showed circadian rhythm, anxiolytic, and vasoconstrictor/vasodilator activities in rats or in vitro. 250162-28-8P, N-[(2-Benzyl-6-ethyl-6,7-dihydrothieno[3,2-

f]quinolin-1-yl)methyl]acetamide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compd.; prepn. of bicyclic arom. and heteroarom. compds. as melatonin receptor ligands)

RN 250162-28-8 CAPLUS

CN Acetamide, N-[[6-ethyl-6,7-dihydro-2-(phenylmethyl)thieno[3,2-f]quinolin-1yl]methyl]- (9CI) (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L13 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2003 ACS
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AN 1999:222914 CAPLUS

DN 130:267341

TI Preparation of oxindoles as protein tyrosine kinase and protein serine/threonine kinase inhibitors.

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Davis, Stephen Thomas; Dickerson, Scott Howard; Frye, Stephen Vernon;
     Harris, Philip Anthony; Hunter, Robert Neil, III; Kuyper, Lee Frederick;
     Lackey, Karey Elizabeth; Luzzio, Michael Joseph; Veal, James Marvin;
     Walker, Duncan Herrick
     Glaxo Group Limited, UK
so
     PCT Int. Appl., 133 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
                                              APPLICATION NO. DATE
                       KIND DATE
     PATENT NO.
ΡI
     WO 9915500
                        A1
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                                              WO 1998-EP5559
                                                                19980903
         W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG,
             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
             NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
             UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                              CA 1998-2302572 19980903
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                        A1
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     AII 747506
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                                              EP 1998-951342
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     EP 1009738
                        A1
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             IE, SI, LT, LV, FI, RO
     BR 9812048
                        Α
                              20000926
                                              BR 1998-12048
                                                                19980903
                                              EE 2000-20000011719980903
     EE 200000117
                              20001215
                        Α
                                              JP 2000-512809
                                                                19980903
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                                                                20010808
     US 2003004351
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     US 6541503
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     US 2003069430
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PRAI GB 1997-18913
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     WO 1998-EP5559
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                              19990304
     US 1999-262351
                        А3
     US 2000-486960
                              20000606
                        A3
     MARPAT 130:267341
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GI
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$$\begin{array}{c|c}
R^1 & X \sim N \\
R^2 & & R^5 \\
R^3 & & N \\
\end{array}$$

Title compds. [I; X = N, CH, CCF3, CA; A = aliphatyl; R1 = H, SH, OH, HOA, heterocyclyl, AHN, A2N, A2NCO, halo, cyano, NO2, etc.; R2 = H, A, HONA, alkoxy, HOA, heterocyclyl, A2NSO2, halo, NO2, OH, ASO2, etc.; R3 = H, A, OH, HOA, A2N, aryl, aryloxy, hydroxyaryl, heterocyclyl, hydroxyheterocyclyl, etc.; R4 = SO3H, SO2A, A2N, A2NCO, heterocyclylamino, heterocyclylsulfonyl, etc.; R5 = H; R1R2, R4R5 = fused ringl, were prepd. Thus, (Z)-N-(3-hydroxy-2,2-dimethylpropyl)-4-[(7-oxo-6,7-dihydro-1-thia-3,6-diaza-as-indacen-8-ylidenemethyl)aminolbenzenesulfonamide [prepd. from 8-ethoxymethylene-6,8-dihydro-1-thia-3,6-diaza-as-indacen-7-one and 4-amino-N-(3-hydroxy-2,2-dimethylpropyl)benzenesulfonamide] inhibited protein kinases CDK1, CDK2, and UL97 with IC50 = 1-10 nM.

IT 222035-64-5P 222036-09-1P 222037-12-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of oxindoles as protein tyrosine kinase and protein

serine/threonine kinase inhibitors)

Ι

RN 222035-64-5 CAPLUS CN Benzenemethanesulfor

Benzenemethanesulfonamide, 4-[(2Z)-(2,3-dihydro-2-oxo-1H-pyrrolo[3,2-f]quinolin-1-ylidene)hydrazino]-N-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 222036-09-1 CAPLUS

Benzenesulfonamide, 4-[(2Z)-(2,3-dihydro-2-oxo-1H-pyrrolo[3,2-f]quinolin-1-CN ylidene)hydrazino] - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

222037-12-9 CAPLUS RN

Benzenemethanesulfonamide, 4-[(2,3-dihydro-2-oxo-1H-pyrrolo[3,2-f]quinolin-CN 1-ylidene)hydrazino]-N-methyl- (9CI) (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 8 OF 10 CAPLUS COPYRIGHT 2003 ACS L13

AN 1999:166598 CAPLUS

DN 130:209599

Preparation of benzylidene-1,3-dihydroindol-2-ones as receptor tyrosine ΤI kinase inhibitors.

McNutt, Robert Walton, Jr.; Jung, David Kendall; Harris, Philip Anthony; Hunter, Robert Neil, III; Veal, James Marvin; Dickerson, Scott; Lackey, IN Karen Elizabeth; Peel, Michael Robert

PA Glaxo Group Limited, UK

so PCT Int. Appl., 144 pp.

CODEN: PIXXD2

 \mathbf{DT} Patent

English LA

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE WO 9910325 A1 19990304 WO 1998-EP4844 19980804 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG,

KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG AU 1998-91584 19980804 AU 9891584 A1 19990316 20000531 EP 1998-943832 19980804 EP 1003721 **A1** R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE. FI 19980804 JP 2002514228 **T2** 20020514 JP 1999-513839 20000207 ZA 1998-7037 19980805 ZA 9807037 Α US 6268391 US 2000-446586 20000407 20010731 B1 19970806 PRAI GB 1997-16557 Α WO 1998-EP4844 19980804 MARPAT 130:209599 os GI

Title compds. [I; R1 = H; R1R2 = fused 5-10 membered aryl, heteroaryl, heterocyclyl; R2, R3 = H, HET, aryl, aliphatyl, cyano, NO2, halo, R10, OR10, SR10, SOR10, SO2R10, NR10R11, etc.; R4 = H, halo, NO2, cyano; R5 = H, (substituted) aliphatyl; R6, R7 = halo, cyano, NO2, CONR10R11, SO2NR10R11, NR10R11, OR11; R8 = OH, NHSO2R12, NHCOCF3; R10 = H, halo, (substituted) aliphatyl, aryl, HET; R11 = H, R10; R12 = H, (substituted) aliphatyl, HET; HET = benzofuryl, benzoxazolyl, dioxanyl, dithianyl, dithiazinyl, furyl, imidazolyl, indolyl, indazolyl, morpholinyl, tetrazolyl, pyrrolyl, quinolinyl, triazinyl, tetrahydrofuryl, etc.], were prepd. for treatment of tumor growth, preventing organ transplant rejection, healing chronic wounds, etc. (no data). Thus, 5-(2-methylthiazol-4-yl)-1,3-dihydroindol-2-one hydrochloride (prepn. given) was stirred with 3,5-dibromo-4-hydroxybenzaldehyde in AcOH/aq. HCl to give 64% 3-(3,5-dibromo-4-hydroxybenzylidene)-5-(2-methylthiazol-4-yl)-1,3-dihydroindol-2-one.

IT 220904-76-7P

220904-76-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of benzylidene-1,3-dihydroindol-2-ones as receptor tyrosine kinase inhibitors.)

RN 220904-76-7 CAPLUS
CN 2H-Pyrrolo[3,2-f]quinolin-2-one, 1-[(3,5-dibromo-4-hydroxyphenyl)methylene]-1,3-dihydro-(9CI) (CA INDEX NAME)

Ι

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
AN
    1998:682353 CAPLUS
DN
     129:302450
     Preparation of iodobenzamides as antineoplastic and antiviral agents
ΤI
     Yatscoff, Randall W.; Foster, Robert T.; Naicker, Selvaraj
IN
     Isotechnika, Inc., Can.
PA
SO
     PCT Int. Appl., 29 pp.
     CODEN: PIXXD2
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     Patent
LΑ
    English
FAN.CNT 1
     PATENT NO.
                      KIND
                            DATE
                                            APPLICATION NO. DATE
     _ _ _ _ _ _ _ _ _ _
ΡĬ
     WO 9845253
                       A1
                            19981015
                                            WO 1998-IB768
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                            19981030
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     EP 973727
                       A2
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     JP 2001521510
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                            20011106
                                            JP 1998-542547
                                                              19980410
     US 6225323
                             20010501
                                            US 1998-125173
                                                              19980811
     US 6306871
                       В1
                             20011023
                                            US 2000-665654
                                                              20000919
PRAI US 1997-43360P
                             19970410
                       Р
     US 1998-43360P
                             19980410
                       Α
     WO 1998-IB768
                       W
                             19980410
     US 1998-125173
                            19980811
                       Α1
os
     MARPAT 129:302450
GI
```

Ι

AB Title compds. [I; R = CONY (sic) wherein Y is a chelatings groups selected from the group of aliph., arom., heterocyclic, carbohydrate groups, and where Y and N together form a heterocyclic ring (sic); R1 = NO2 or NH2; R2,R3 = H, NO2, NH2; when R2 = NH2 R1 and R3 = H] having a chelating group, a thiol trapping group, and an activating group. The presumptive mechanism of action in preventing cancer cell and virus replication is through inhibition of the binding of transcription factors to Zn finger binding domains. Thus, I (R1 = R3 = H, R2 = NO2) (II; R = CO2H) was amidated by H2NCH2CH2NMe2 to give II (R = CONHCH2CH2NMe2). Data for biol. activity of I were given.

CN 1H-Pyrrolo[3,2-f]quinolin-5-ol, 1-chloro-2,3-dihydro-3-(2-iodo-5nitrobenzoyl)- (9CI) (CA INDEX NAME)

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 1

```
ALL CITATIONS AVAILABLE IN THE RE FORMAT
    ANSWER 10 OF 10 CAPLUS COPYRIGHT 2003 ACS
T-1.3
     1994:534101 CAPLUS
AN
DN
     121:134101
     Preparation of quinoline derivative or salt thereof and remedy for cardiac
TΙ
     diseases containing the same
     Kyotani, Yoshinori; Ogiya, Tadaaki; Toma, Tsutomu; Kurihara, Yuji;
IN
     Kitamura, Takahiro; Yamaguchi, Takashi; Onogi, Kazuhiro; Sato, Seichi;
     Shigyo, Hiromichi; et al.
     Kowa Co., Ltd., Japan
PA
     PCT Int. Appl., 265 pp.
so
     CODEN: PIXXD2
DТ
     Patent
     Japanese
T.A
FAN.CNT 1
                                             APPLICATION NO. DATE
     PATENT NO.
                       KIND DATE
     WO 9322317
                        A1
                             19931111
                                              WO 1993-JP566
                                                                19930428
PI
         W: CA, JP, KR, US
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
                       A1 19950215
     EP 638571
                                             EP 1993-911951
                                                              19930428
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
                                             JP 1993-519131
                                                               19930428
     JP 3406600
                        B2
                             20030512
     US 5576324
                        Α
                             19961119
                                              US 1994-325270
                                                                19941027
PRAI JP 1992-112862
                        Α
                             19920501
     WO 1993-JP566
                             19930428
                        W
     MARPAT 121:134101
OS
     For diagram(s), see printed CA Issue.
     Quinoline derivs. [I; ring A = a furan, dihydrofuran or dioxolane ring; R1
     = OH, CO2H, alkoxycarbonyl, CONH2, alkenyl, CHO, cyano, (un)substituted alkyl, C(:NR10)R9; R9 = NH2, alkyl; R10 = H, OH; R2 = H, (un)substituted
     alkyl, alkenyl, acyl, OH; R3, R4 = H, halo, (un) substituted alkyl or NH2,
     alkoxy, alkylthio, CO2H, alkoxycarbonyl, acyl, CONH2, cyano, NO2; R5, R6,
     R7, R8 = H or alkyl; m = an integer 0-3; symbol.....means that there may
     be a double bond formed by R6 and R8] and medicinally acceptable salts are
     prepd. The compds. I have a pos. inotropic effect on myocardia and an
     antiarrhythmic effect and can dilate blood vessels without extremely
     increasing the heart rate. Therefore, a remedy for cardiac diseases
     contg. I as the active ingredient is remarkably useful for treating
     cardiac insufficiency and arrhythmia and as vasodilators and carditonics.
     Thus, 5-hydroxy-6-allyl-8-methylcarbostyryl was stirred with
     m-chloroperbenzoic acid in CHCl3 at 50.degree. for 17 h to give a
     tetrahydrofuroquinolinone deriv. (II; X = OH, R9 = H) which was mesylated by MeSO2Cl in pyridine and underwent azidolysis with NaN3 DMF at
     100.degree. to give, after hydrogenation over 10% Pd-C, II (X = NH2, R11 =
     H). II.HCl (X = NH2, R11 = Me) at 100 mg/kg p.o. inhibited the
     CHCl3-induced arrhythmia in mice by 100%.
     156934-75-7P 156934-76-8P 156934-77-9P
```

TT 156934-78-0P 156934-79-1P 156935-57-8P 156935-58-9P 156935-59-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as medicament for cardiac diseases)

156934-75-7 CAPLUS RN

Furo[3,2-f]quinolin-7(6H)-one, 1,2-dihydro-2-methyl- (9CI) (CA INDEX CN NAME)

RN 156934-76-8 CAPLUS Furo[3,2-f]quinolin-7(6H)-one, 1,2-dihydro-2-(hydroxymethyl)- (9CI) (CA INDEX NAME)

RN 156934-77-9 CAPLUS CN Furo[3,2-f]quinolin-7(6H)-one, 1,2-dihydro-2-[[(methylsulfonyl)oxy]methyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c} \begin{array}{c} \begin{array}{c} \begin{array}{c} \\ \\ \\ \\ \end{array} \end{array} \end{array}$$

RN 156934-78-0 CAPLUS CN Furo[3,2-f]quinolin-7(6H)-one, 2-(azidomethyl)-1,2-dihydro- (9CI) (CF INDEX NAME)

RN 156934-79-1 CAPLUS CN Furo[3,2-f]quinolin-7(6H)-one, 2-(aminomethyl)-1,2-dihydro- (9CI) (CA INDEX NAME)

RN 156935-57-8 CAPLUS
CN Furo[3,2-f]quinolin-7(6H)-one, 2-(bromomethyl)-1,2-dihydro-5-methyl- (9CI)
(CA INDEX NAME)

RN 156935-58-9 CAPLUS CN Furo[3,2-f]quinolin-7(6H)-one, 2-(azidomethyl)-1,2-dihydro-5-methyl- (9CI) (CA INDEX NAME)

156935-59-0 CAPLUS RNFuro[3,2-f]quinolin-7(6H)-one, 2-(aminomethyl)-1,2-dihydro-5-methyl-, CN monohydrochloride (9CI) (CA INDEX NAME)

HCl

=> d his

(FILE 'HOME' ENTERED AT 18:46:48 ON 03 JUN 2003)

FILE 'REGISTRY' ENTERED AT 18:46:57 ON 03 JUN 2003

STRUCTURE UPLOADED Ll

L24 S L1

FILE 'STNGUIDE' ENTERED AT 18:48:12 ON 03 JUN 2003

FILE 'REGISTRY' ENTERED AT 18:48:59 ON 03 JUN 2003

STRUCTURE UPLOADED

L3 L4 2 S L3

FILE 'STNGUIDE' ENTERED AT 18:50:17 ON 03 JUN 2003

FILE 'REGISTRY' ENTERED AT 18:52:33 ON 03 JUN 2003

STRUCTURE UPLOADED L5

L6 9 S L5

FILE 'STNGUIDE' ENTERED AT 18:53:30 ON 03 JUN 2003

FILE 'STNGUIDE' ENTERED AT 18:57:42 ON 03 JUN 2003

FILE 'REGISTRY' ENTERED AT 18:57:50 ON 03 JUN 2003

STRUCTURE UPLOADED L7

Г8 8 S L7

FILE 'STNGUIDE' ENTERED AT 19:01:11 ON 03 JUN 2003

FILE 'REGISTRY' ENTERED AT 19:04:54 ON 03 JUN 2003

STRUCTURE UPLOADED

L9 7 S L9 L10

372 S L9 SSS FULL L11

FILE 'CAPLUS' ENTERED AT 19:06:03 ON 03 JUN 2003

L12 78 S L11

10 S L11/THU L13

=> s l12 not l13

L14 68 L12 NOT L13

=> s l14 and patent/dt

4107461 PATENT/DT

L15 11 L14 AND PATENT/DT

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=> d 1-11 bib abs hitstr
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ANSWER 1 OF 11 CAPLUS COPYRIGHT 2003 ACS
AN
     2002:676012 CAPLUS
DN
     137:216935
     Preparation of pyrroloindoles and pyrrologuinolines as prodrugs for tumor
ТT
     treatment
     Searcey, Mark; Patterson, Laurence Hylton
IN
     School of Pharmacy, University of London, UK
PA
     PCT Int. Appl., 52 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
                                                 APPLICATION NO.
                                                                     DATE
     PATENT NO.
                         KIND
                               DATE
     WO 2002068412
                          A1
                                20020906
                                                 WO 2002-GB796
                                                                     20020222
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
               CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
               LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
               PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
               UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
               TJ. TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI EP 2001-301636
                                20010222
os
     MARPAT 137:216935
GΙ
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Title compds. I and II [wherein X = H; Y = leaving group; R1 = Ar, NH2, R8, or OR8; R2 and R4 = independently H, alkyl, OH, alkoxy, CN, Cl, Br, I, NO2, NH2, NHCOR9, CO2H, CONHR9, NHCO2R9, CO2R9, and COAr1; R3 = H, alkyl, OH, alkoxy, CN, Cl, Br, I, NO2, NH2, NHCOR9, CO2H, CONHR9, NHCO2R9, or CO2R9; R8 and R9 = independently alkyl or (un) substituted (hetero) aryl and ligands; Ar = (un) substituted specified (hetero) aryl, (hetero) cyclyl, or phenylethenyl; Ar1 = Ar with provisos] were prepd. as prodrug analogs of duocarmycin. For example, Et 5-nitroindole-2-carboxylate was protected with benzoyl chloride (87%) and the product hydrogenated with 10% Pd/C to give Et 5-amino-1-benzoylindole-2-carboxylate (40%). Iodation with N-iodosuccinimide (51%), BOC-protection (90%) of the amine, and addn. of 1,3-dichloropropene (94%) afforded the 5-[N-BOC-N-(3-chloro-2-propen-1yl)amino]-4-iodoindole. Cyclization with Bu3SnH in the presence of AIBN in toluene (78%), followed by addn. of 5-methoxyindole-2-carboxylic acid (86%) produced III. The latter exhibited an activity factor, i.e. the ratio of IC50 cytotoxicity values obtained for pos. and neg. activation of CHO cells, of 71.7. Cytochrome P 450, which is expressed at high levels in tumors, is expected to hydroxylate I and II at the C atom to which X is joined. Thus, the prodrug is expected to be activated preferentially in

tumor cells, where it will act as a DNA alkylating agent preventing cell division.

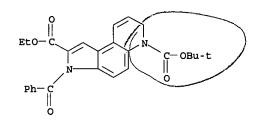
IT 454691-89-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of pyrroloindoles and pyrroloquinolines as duocarmycin prodrugs for tumor treatment)

454691-89-5 CAPLUS RN

6H-Pyrrolo[3,2-f]quinoline-2,6-dicarboxylic acid, 3-benzoyl-3,7-dihydro-, CN 6-(1,1-dimethylethyl) 2-ethyl ester (9CI) (CA INDEX NAME)



THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 11 CAPLUS COPYRIGHT 2003 ACS L15

2001:564157 CAPLUS AN

DN 135:159969

1, 2-Dithiophene-yl-ethylene organic electroluminescent component ΤI

Higuchi, Shoji; Sakaki, Yuichi; Yoshida, Tamotsu; Nagasaki, Yoshinori; IN Tanaka, Kazuhiko

Toppan Printing Co., Ltd., Japan PΑ

Jpn. Kokai Tokkyo Koho, 20 pp. SO

CODEN: JKXXAF

DT Patent. LA Japanese FAN CNT-1-

PATENT NO.

KTND DATE APPLICATION NO. DATE

JP 2001210472 20010803 <u>A2</u> PRAI JP 2000-17332

20000126

JP 2000-17332 20000126 not min at

os MARPAT 135:159969

ĢΙ

ΡI

The invention refers to an org. electroluminescent component comprising 1,2 -dithiophene-yl-ethylene I [X,Y=C, or N; R,Rl=H, alkyl, alkoxy,]AB tris-alkyl-siloxy or tris-alkyl-siloxy methyl).

I

IT 342807-14-1P 342807-16-3P

RL: DEV (Device component use); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)

(1, 2-dithiophene-yl-ethylene org. electroluminescent component)

342807-14-1 CAPLUS

Thieno[3,2-f]quinoline, 2,2'-(1E)-1,2-ethenediylbis- (9CI) (CA INDEX CN NAME)

Double bond geometry as shown.

102

RN 342807-16-3 CAPLUS

CN Thieno[3,2-f]quinoline, 2-[(1E)-2-[7-[(butyldimethylsilyl)oxy]methyl]benz o[1,2-b:4,3-b']dithien-2-yl]ethenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT 182631-27-2 190512-41-5, Thieno[3,2-f]quinoline-2-

carboxaldehyde

RL: RCT (Reactant); RACT (Reactant or reagent)

(1, 2-dithiophene-yl-ethylene org. electroluminescent component)

RN 182631-27-2 CAPLUS

CN Phosphonium, triphenyl(thieno[3,2-f]quinolin-2-ylmethyl)-, chloride (9CI) (CA INDEX NAME)

• c1-

RN 190512-41-5 CAPLUS

CN Thieno[3,2-f]quinoline-2-carboxaldehyde (9CI) (CA INDEX NAME)

L15 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2003 ACS

AN 2001:403451 CAPLUS

DN 135:19545

TI Preparation of 1,2-dithiophenylethylene as blue organic electroluminescent materials

IN Higuchi, Shoji; Tanaka, Kazuhiko; Sakaki, Yuichi; Yoshida, Tamotsu; Nagasaki, Yoshinori

PA Toppan Printing Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 17 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO. KIND DATE

PI JP 2001151781 A2 20010605

PRAI JP 1999-335039 19991125

 not prin at

Title compds. I (X, Y = CH, N; R, R' = H, alkyl, alkoxy, trisalkylsiloxymethyl) are prepd. 6-(Tert-butyldimethylsiloxy)naphtho[2,1-b]thiophene-2-carbaldehyde was reacted with 2-naphtho[2,1-b]thienylmethyltriphenylphosphonium chloride in the presence of tert-BuOK in MeOH at 0.degree. overnight to give 90% 2-[6'-(tert-butyldimethylsiloxy)naphtho[2,1-b]thiophen-2'-ylethenyl]naphtho[2,1-b]thiophene showing strong blue fluorescence at peak wavelength 435 nm and 462 nm in PhMe.

IT 182631-27-2P 190512-41-5P, Thieno[3,2-f]quinoline-2carboxaldehyde 342807-16-3P

RL: PNU (Preparation, unclassified); SPN (Synthetic preparation); PREP (Preparation)

 $(\bar{p}repn.\ of\ dithiophenylethylene\ as\ blue\ org.\ electroluminescent\ materials)$

RN 182631-27-2 CAPLUS

• cl-

RN 190512-41-5 CAPLUS

CN Thieno[3,2-f]quinoline-2-carboxaldehyde (9CI) (CA INDEX NAME)

RN 342807-16-3 CAPLUS

CN Thieno[3,2-f]quinoline, 2-[(1E)-2-[7-[[(butyldimethylsilyl)oxy]methyl]benz
o[1,2-b:4,3-b']dithien-2-yl]ethenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT 342807-14-1P

RL: SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)

(prepn. of dithiophenylethylene as blue org. electroluminescent materials)

RN 342807-14-1 CAPLUS

CN Thieno[3,2-f]quinoline, 2,2'-(1E)-1,2-ethenediylbis- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L15 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2003 ACS

AN 2001:73457 CAPLUS

DN 134:128209

TI Light-triggered indicators that memorize analyte concentrations

IN Tsien, Roger Y.; Adams, Stephen R.

PA The Regents of the University of California, USA

SO U.S., 18 pp. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------------|------|----------|-----------------|----------|
| | | | | |
| PI US 6180411 | B1 | 20010130 | US 1998-134668 | 19980730 |
| PRAI US 1997-54441P | P | 19970801 | | |

PRAI ÙS 1997-54441P P OS MARPAT 134:128209

GI

AB A new class of optical indicators which are capable of memorizing and preserving the spatial localization of intracellular analytes in a time resolved manner is described. The compds. comprise a chromophore carrying a photolabile group capable of undergoing an irreversible and detectable chem. transformation upon irradn. by light. The chromophore is linked to a binding site capable of binding an analyte, wherein binding of the analyte to the binding site alters an optical property of the chromophore, thus altering the ability of the photolabile group to undergo the chem. transformation. Methods and kits for memorizing the spatial localization of the analytes are also described. A memory indicator for Ca2+, I, was prepd. and tested.

Ι

IT 321939-03-1D, compds.

RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses) (as memory indicator for hydrogen ion; light-triggered indicators that memorize analyte concns.)

RN 321939-03-1 CAPLUS

CN Glycine, N-[2-[(1E)-2-[1-azido-2-benzoyl-5-[bis(carboxymethyl)amino]furo[3,2-f]quinolin-7-yl]ethenyl]phenyl]-N-(carboxymethyl)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 21 ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 5 OF 11 CAPLUS COPYRIGHT 2003 ACS
L15
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1997:754358 CAPLUS AN

DN 128:61380

Preparation of pyrroloquinolines as intermediates for duocarmycin SA TI

Natsume, Mitsutaka; Muratake, Hideaki IN

Shionogi and Co., Ltd., Japan; Otsu, Kenkyusho PA

Jpn. Kokai Tokkyo Koho, 7 pp. SO

CODEN: JKXXAF

DT Patent

LA Japanese

GΙ

| FAN.CNT 1 | | | | | | |
|---------------------|----------|----------------|-----------------|----------|--|--|
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | | |
| | - | | | | | |
| PI JP 09301975 | A2 | 19971125 | JP 1996-116052 | 19960510 | | |
| PRAI JP 1996-116052 | | 19960510 | | | | |
| OS CASREACT 128:613 | 80; MA | RPAT 128:61380 | • | | | |

Pyrroloquinolines I (R1 = H, lower alkyl; R2 = OH-protecting group; R3 = AB H, lower alkyl, amino-protecting group) are prepd. by intramol. cyclization of II (R1, R3 = same as above; R4 = halo, F3CSO3) using org. metal catalysts followed by protection of OH groups of I (R1, R3 = same as above; R2 = H). II (R1 = Me, R3 = H, R4 = F3CSO3) (prepn. given) was etherified with F3CSO3SiMe2Bu-t and Et3N in CH2Cl2 at 0.degree. for 1 h, cyclocondensed in the presence of Bu3SnF, (Ph3P)2PdCl2, and LiCl in xylene under reflux for 1 h, and protected with ClCO2H in pyridine at 20 degree. for 3 h to give 89% I (R1 = Me, R2 = MeOCO, R3 = H) (III). Me (7bR*,8aS*)-1,2,4,5,8,8a-hexahydro-4-oxocyclopropa[c]pyrrolo[3,2-e]indole-fine and all of the control of the6-carboxylate (prepd. from III via several steps) was treated with 2-(imidazol-1-yl-carbonyl)-5,6,7-trimethoxyindole in the presence of NaH in THF/HCONMe2 at 0.degree. for 4 h to give 60% duocarmycin SA. IT

182180-61-6P RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. of pyrroloquinolines by intramol. cyclization of acetylpyridinylpyrrole using org metal catalysts)

182180-61-6 CAPLUS RN

3H-Pyrrolo[3,2-f]quinoline-2-carboxylic acid, 4-[(methoxycarbonyl)oxy]-, CN methyl ester (9CI) (CA INDEX NAME)

ANSWER 6 OF 11 CAPLUS COPYRIGHT 2003 ACS L15

1990:35883 CAPLUS AN

DN 112:35883

Quinoline, quinazoline, and cinnoline fungicides and their preparation ΤI

Arnold, Wendell Ray; Coghlan, Michael Joseph; Krumkalns, Eriks Victor; Jourdan, Glen Phil; Suhr, Robert George IN

PΑ Lilly, Eli, and Co., USA

Eur. Pat. Appl., 60 pp. so

CODEN: EPXXDW

DT Patent

English LΑ

| FAN. | | | | | | | |
|------|-----|----------------|------|----------|---------|----------------|----------|
| | PA' | TENT NO. | KIND | DATE | AP | PLICATION NO. | DATE |
| | | | | | | | |
| | | | | | EP | 1989-300658 | 19890125 |
| | | 326330 | | | | | |
| | | 326330 | | | | | |
| | | | | | | IT, LI, LU, NI | |
| | ΙL | 89029 | A1 | 19930131 | | 1989-89029 | |
| | | | | | AU | 1989-28728 | 19890124 |
| | ΑU | 626279 | B2 | 19920730 | | | |
| | AT | 221051 | E | 20020815 | AT | 1989-300658 | 19890125 |
| | ES | 2176173 | Т3 | 20021201 | ES | 1989-300658 | 19890125 |
| | ZA | 8900626 | Α | 19891227 | z_{A} | 1989-626 | 19890126 |
| | CA | 1340470 | A1 | 19990330 | CA | 1989-589263 | 19890126 |
| | | 8900423 | A | 19890730 | FI | 1989-423 | 19890127 |
| | FI | 94523 94523 | В | 19950615 | | | |
| | FI | 94523 | С | 19950925 | | | |
| | CN | 1034925 | A | 19890823 | CN | 1989-100472 | 19890127 |
| | CN | 1031263 | В | 19960313 | | | |
| | | | | | | 1989-365 | |
| | BR | 8900356 | Α | 19890919 | BR | 1989-356 | 19890127 |
| | | | | 19891002 | JP | 1989-19400 | 19890127 |
| | JΡ | 2559485 | B2 | 19961204 | | | |
| | | 49790 | | 19891128 | HU | 1989-426 | 19890127 |
| | ΗU | 208611 | В | 19931228 | | | |
| | | | | | | 1989-872 | |
| | US | 5145843 | A | 19920908 | US | 1989-334422 | 19890407 |
| | US | 5240940 | A | 19930831 | US | 1992-881957 | 19920512 |
| PRAI | US | 1988-150266 | A | 19880129 | | | |
| | US | 1989-334422 | A3 | 19890407 | | | |

Ι

GI

The title compds. [I; X = CR5, N; R5 = H, C1, Me; Y = CR10 if X = N, Y = CR10 or N if X = CR5; R10 = H, C1, Br; Z = O, S, SO, SO2, etc.; R1-R4 = H, AB OH, NO2, halo, C1-4 alkyl, etc.; R1R2 or R2R3 can form a carbocyclic ring; A = C1-18 (un) satd. (un) substituted hydrocarbon chain optionally including a heteroatom), C3-8 cycloalkyl, cycloalkenyl, etc.] were prepd. as agrochem. fungicides. A mixt. of 4,7-dichloroquinoline and 4-FC6H4OH in xylene was refluxed 17 h at 144.degree., addnl. 4-FC6H4OH was added and

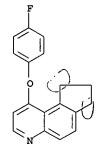
refluxing was continued to give 73.2% 7-chloro-4-(4fluorophenoxy)quinoline (II). II at 100 ppm gave 90-100% control of Erysiphe graminis tritici.

· IT 124496-59-9P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as agrochem. fungicide)

124496-59-9 CAPLUS

7H-Cyclopenta[f]quinoline, 1-(4-fluorophenoxy)-8,9-dihydro- (9CI) (CA CN INDEX NAME)



L15 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2003 ACS

1977:405939 CAPLUS AN

DN87:5939

Pyrroloquinoline derivatives

Kost, A. N.; Yudin, L. G.; Yamashkin, S. A. Moscow State University, USSR IN

PA

SO U.S.S.R.

From: Otkrytiya, Izobret., Prom. Obraztsy, Tovarnye Znaki 1977, 54(8), 95. CODEN: URXXAF

DTPatent

LΑ Russian

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-----------------|------|----------|-----------------|----------|
| | | | | | |
| PI | SU 548608 | T | 19770228 | SU 1975-2157204 | 19750716 |
| PRAI | SU 1975-2157204 | | 19750716 | | |
| GI | | | | | |

Pyrrolo[4,5-f] quinolines I (R = Me, Ph; R1, R2 = H, Me), pyrrolo[5,4-f]quinolines II, pyrrolo[4,5-g]quinolines, and pyrrolo[5,4-g]quinolines were prepd. by treatment of 5- or 6-aminoindoles III with .beta.-diketones (RCO)2CH2 and cyclization of the resulting anils in the presence of a strong acid (e.g., H2SO4, polyphosphoric acid, or F3CCO2H) at 80-160.degree.C.

232-85-9DP, derivs. IT

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

232-85-9 CAPLUS RN

CN 3H-Pyrrolo[3,2-f]quinoline (8CI, 9CI) (CA INDEX NAME)

L15 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2003 ACS 1969:481325 CAPLUS AN DN 71:81325 TI 2-Methyl-3-(.beta.-aminoethyl-lH-pyrrolo[2,3-b]quinoline or 1-(.beta.-aminoethyl)-2-methyl-3H-pyrrolo(3,2-f]quinoline .Grandberg, I. I.; Yaryshev, N. G. TN Timiryazev, K. A., Agricultural Academy PA U.S.S.R. From: Otkrytiya, Izobret., Prom. Obraztsy, Tovarnye Znaki 1969, 46(14), CODEN: URXXAF \mathbf{DT} Patent LΑ Russian FAN.CNT 1 APPLICATION NO. DATE PATENT NO. KIND DATE ΡI 19690418 SU 19671215 The title compd. is prepd. by treating 2- or 8-hydrazinoquinoline with Me AB .gamma.-chloropropyl ketone at boiling in an alc. medium, with subsequent sepn. of the desired product. IT 23758-94-3P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of) RN 23758-94-3 CAPLUS 3H-Pyrrolo[3,2-f]quinoline, 1-(2-aminoethyl)-2-methyl- (8CI) (CA INDEX CN

$$\begin{array}{c} \text{H}_2\text{N}-\text{CH}_2-\text{CH}_2 & \text{Me} \\ \\ \text{NH} & \\ \\ \\ \text{N} \end{array}$$

NAME)

L15 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2003 ACS 1969:79169 CAPLUS AN DN 70:79169 ΤI Polymethine dyes Zhiryakov, V. G.; Abramenko, P. I.; Sennikova, N. I. IN All-Union Scientific-Research Cinema-Photographic Institute DΔ so From: Izobret., Prom. Obraztsy, Tovarnye Znaki 1967, 44(13), 176-7. CODEN: URXXAF DT Patent LΑ Russian FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE ---------19670609 SU 19660722 PΙ SU 198130 For diagram(s), see printed CA Issue.
Polymethine dyes of the cyanine and merocyanine series, useful as optical GΙ

Polymethine dyes of the cyanine and merocyanine series, useful as optical sensitizers for AgCl-contg. photographic emulsions in the 520-790 m.mu. wavelength range, are prepd. Thus, a mixt. of 0.72 g. 9-methylthieno[3,2-f]quinoline ethiodide (I), 0.7 g. HC(OEt)3, and 3 ml. PhNO2 was heated for 30 min. at 180.degree. The product was pptd. with Et20, redissolved in 3 ml. EtOH, treated with 3 ml. of 10% aq. KI soln., and cooled to give 0.26 g. II, m. 261-3.degree., .lambda.EtOHmax. 729 m.mu., sensitization range 720-90 m.mu. with a max. at 760 m.mu.. Similar treatment of the 7-Me analog (III) of I gave IV (R = Et, Z = thieno[3,2-f]quinolin-7-ylidene), m. >300.degree., .lambda.EtOHmax. 635 m.mu., sensitization range 560-720 m.mu. with a max. at 670 m.mu.. A mixt. of 0.36 g. I and 0.3 g. V in 5 ml. EtOH was heated for 30 min. with 0.1 g. Et3N to give 0.3 g. VI, m. 232-4.degree. (EtOH), .lambda.EtOHmax. 625 m.mu., sensitization range to 700 m.mu. with a max. at 660 m.mu..

Similarly III and V gave the analog of VI, m. 241-3.degree. (EtOH), .lambda.EtOHmax. 584 m.mu., sensitization range 530-690 m.mu. with a max. at 620 m.mu.. Treatment of 2-[2-(N-phenylacetamido)vinyl]benzothiazole or its O or Se analogs with 7-methylthieno[3,2-f]quinoline meth-iodide (VII) and Et3N in Ac2O gave the following IV (R = Me) [ZH2, m.p., .lambda.EtOHmax. (m.mu.), sensitization range (m.mu.), and sensitization max. (m.mu.) given]: 3-ethylbenzothiazoline, 261-3.degree. (EtOH), 593, to 680, 625; 3-ethylbenzoselenazoline, 253-4.degree. (EtOH), 597, to 680, 630; 3-ethylbenzoxazoline, 254-6.degree. (EtOH), 559, to 680, 590. Heating 0.36 g. VII with 0.18 g. p-Me2NC6H4CHO in 2 ml. pyridine in the presence of 0.05 g. piperidine at 100.degree. for 1 hr. gave 0.28 g. 7-(p-dimethylamino-.omega.-styryl)thieno[3,2-f]quinoline methiodide, m. 277-9.degree. (EtOH), .lambda.EtOHmax. 528 m.mu., sensitizing range to 600 m.mu. with a max. at 580 m.mu.

IT 20048-75-3P 20048-76-4P 20048-79-7P

20048-80-0P 20048-81-1P

RL: IMF (Industrial manufacture); PREP (Preparation)
 (prepn. of)

RN 20048-75-3 CAPLUS

CN Thieno[3,2-f]quinolinium, 6-ethyl-9-[3-(6-ethylthieno[3,2-f]quinolin-9(6H)-ylidene)propenyl]-, iodide (8CI) (CA INDEX NAME)

RN 20048-76-4 CAPLUS

CN Thieno[3,2-f]quinolinium, 6-ethyl-7-[3-(6-ethylthieno[3,2-f]quinolin-7(6H)-ylidene)propenyl]-, iodide (8CI) (CA INDEX NAME)

• I-

RN 20048-79-7 CAPLUS

CN Thieno[3,2-f]quinolinium, 7-[3-(3-ethyl-2-benzothiazolinylidene)propenyl]-6-methyl-, iodide (8CI) (CA INDEX NAME)

• I-

RN 20048-80-0 CAPLUS

CN Thieno[3,2-f]quinolinium, 7-[3-(3-ethyl-2-benzoselenazolinylidene)propenyl]-6-methyl-, iodide (8CI) (CA INDEX NAME)

• I-

RN 20048-81-1 CAPLUS

CN Thieno [3,2-f] quinolinium, 7-[3-(3-ethyl-2-benzoxazolinylidene) propenyl]-6-methyl-, iodide (8CI) (CA INDEX NAME)

• I-

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AN 1968:468262 CAPLUS
DN 69:68262
TI Polymethine dyes
IN Zharyakov, V. G.; Abramenko, P. I.; Sennikova, N. I.
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ANSWER 10 OF 11 CAPLUS COPYRIGHT 2003 ACS

PA All-Union Scientific-Research Cinema-Photographic Institute

SO U.S.S.R.

From: Izobret., Prom. Obraztsy, Tovarnye Znaki 1967, 44(13), 176-7. CODEN: URXXAF

DT Patent

L15

LA Russian

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | | | | |
|----|---|--------|-----------------|-------------------|----------------------|--|--|--|--|
| | | | | | | | | | |
| PI | SU 198130 | | 19670609 | SU · | 19660722 | | | | |
| GI | For diagram(s), see printed CA Issue. | | | | | | | | |
| AB | Polymethine dyes suitable for the optical sensitization of Ag halide | | | | | | | | |
| | photographic emulsions have the general formulas I-III, where R is alkyl; | | | | | | | | |
| | Z is a 7- or 9-thieno[3,2-f] quinoline residue; Z' is a benzothiazole, | | | | | | | | |
| | benzoxazole, ben | zosele | nazole, 7- or 9 | -thieno [3,2-f] q | uinoline residue; | | | | |
| | and X is an acid | resid | ue. These dyes | are prepd. by co | ndensing quaternary | | | | |
| | salts of 7- or 9 | -methy | lthieno [3,2-f] | quinoline with i | ntermediates such as | | | | |

HC(OEt)3, 3-ethyl-5-(.alpha.acetylanilinomethylene)thiazolidine-2-thion-4-

one, 2-(.beta.-acetanilinovinyl)benzothiazole, or 4-Me2NC6H4CHO. T 20048-75-3P 20048-76-4P 20048-78-6P

RN 20048-76-4 CAPLUS
CN Thieno[3,2-f]quinolinium, 6-ethyl-7-[3-(6-ethylthieno[3,2-f]quinolin-7(6H)-ylidene)propenyl]-, iodide (8CI) (CA INDEX NAME)

• I-

RN 20048-78-6 CAPLUS
CN Rhodanine, 3-ethyl-5-[2-(6-ethylthieno[3,2-f]quinolin-7(6H)-ylidene)ethylidene]- (8CI) (CA INDEX NAME)

RN 20048-79-7 CAPLUS
CN Thieno[3,2-f]quinolinium, 7-[3-(3-ethyl-2-benzothiazolinylidene)propenyl]6-methyl-, iodide (8CI) (CA INDEX NAME)

• I-

RN 20048-80-0 CAPLUS

Thieno[3,2-f]quinolinium, 7-[3-(3-ethyl-2-benzoselenazolinylidene)propenyl]-6-methyl-, iodide (8CI) (CA INDEX NAME) CN

RN

20048-81-1 CAPLUS Thieno[3,2-f]quinolinium, 7-[3-(3-ethyl-2-benzoxazolinylidene)propenyl]-6-CN methyl-, iodide (8CI) (CA INDEX NAME)

• ı-

RN 20048-82-2 CAPLUS

Thieno[3,2-f]quinolinium, 7-[p-(dimethylamino)styryl]-6-methyl-, iodide (8CI) (CA INDEX NAME) CN

• ı-

ANSWER 11 OF 11 CAPLUS COPYRIGHT 2003 ACS L15

AN 1968:88212 CAPLUS

DN 68:88212

TI Polymethine dyes of the cyanine and merocyanine blue-type

Abramenko, P. I.; Sennikova, N. I. IN

PA All-Union Scientific-Research Institute of Chemical-Photographic Industry

SO U.S.S.R.

From: Izobret., Prom. Obraztsy, Tovarnye Znaki 1967, 44(16), 183. CODEN: URXXAF

DT Patent

LA Russian

FAN.CNT 1

GI For diagram(s), see printed CA Issue.

The title compds of the general formulas I and II, where R is alkyl, Z is a 1-methylthionaphtheno[3,2-b]-3-pyridine residue, or a 9-methylthieno[3,2-f]-7-quinoline residue, Z1 is a benzothiazole residue or a 9-methylthieno[3,2-f]-7-quinoline residue, and X- is an anion, are useful for optical sensitization of silver halide photographic emulsions. They are prepd. from quaternary salts of 1,3-dimethylthionaphtheno[3,2-b]pyridine (III) or 7,9-dimethylthieno[3,2-f]quinoline (IV) by condensation with intermediates usually used in the synthesis of polymethine dyes, e.g. HC(OEt)3, 3-ethyl-5-(acetanilidomethylene)thiazolid ine-2-thion-4-one, and 2-(beta.-acetanilidovinyl)benzothiazole.

IT 19132-41-3P 19132-42-4P 20324-86-1P
RL: IMF (Industrial manufacture); PREP (Preparation)
(prepn. of)

RN 19132-41-3 CAPLUS

CN Rhodanine, 5-[2-(6,9-dimethylthieno[3,2-f]quinolin-7(6H)ylidene)ethylidene]-3-ethyl- (8CI) (CA INDEX NAME)

RN 19132-42-4 CAPLUS

CN Thieno[3,2-f]quinolinium, 7-[3-(3-ethyl-2-benzothiazolinylidene)propenyl]6,9-dimethyl-, iodide (8CI) (CA INDEX NAME)

• I.

RN 20324-86-1 CAPLUS

CN Thieno[3,2-f]quinolinium, 6-[3-(6,9-dimethylthieno[3,2-f]quinolin-7(6H)-ylidene)propenyl]-6,9-dimethyl-, iodide (8CI) (CA INDEX NAME)

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=> d his
     (FILE 'HOME' ENTERED AT 18:46:48 ON 03 JUN 2003)
     FILE 'REGISTRY' ENTERED AT 18:46:57 ON 03 JUN 2003
                STRUCTURE UPLOADED
T.1
L2
              4 S L1
     FILE 'STNGUIDE' ENTERED AT 18:48:12 ON 03 JUN 2003
     FILE 'REGISTRY' ENTERED AT 18:48:59 ON 03 JUN 2003
                STRUCTURE UPLOADED
L3
              2 S L3
T.4
     FILE 'STNGUIDE' ENTERED AT 18:50:17 ON 03 JUN 2003
     FILE 'REGISTRY' ENTERED AT 18:52:33 ON 03 JUN 2003
                STRUCTURE UPLOADED
L5
              9 S L5
L6
     FILE 'STNGUIDE' ENTERED AT 18:53:30 ON 03 JUN 2003
     FILE 'STNGUIDE' ENTERED AT 18:57:42 ON 03 JUN 2003
     FILE 'REGISTRY' ENTERED AT 18:57:50 ON 03 JUN 2003
L7
                STRUCTURE UPLOADED
L8
              8 S L7
     FILE 'STNGUIDE' ENTERED AT 19:01:11 ON 03 JUN 2003
     FILE 'REGISTRY' ENTERED AT 19:04:54 ON 03 JUN 2003
               STRUCTURE UPLOADED
L9
              7 S L9
L10
            372 S L9 SSS FULL
L11
     FILE 'CAPLUS' ENTERED AT 19:06:03 ON 03 JUN 2003
L12
             78 S L11
L13
             10 S L11/THU
             68 S L12 NOT L13
L14
1.15
             11 S L14 AND PATENT/DT
=> d l14 not l15
L15 IS NOT VALID HERE
For an explanation, enter "HELP DISPLAY".
=> s 114 not 115
           57 L14 NOT L15
=> d 1,5,10,15,20,25,30,35,40,45,50,55,57 bib abs hitstr
L16 ANSWER 1 OF 57 CAPLUS COPYRIGHT 2003 ACS
    2002:338062 CAPLUS
AN
DN
    137:232531
     Novel facile synthesis of 2,2,4-substituted-1,2-dihydroquinolines via a
ΤI
     modified Skraup reaction
     Theoclitou, Maria-Elena; Robinson, Leslie A.
AU
     Pharma Research Laboratories, Bristol-Myers Squibb, San Diego, CA, 92121,
ÇS
     Tetrahedron Letters (2002), 43(21), 3907-3910
SO
     CODEN: TELEAY; ISSN: 0040-4039
PΒ
    Elsevier Science Ltd.
DT
     Journal
    English
T.A
os
     CASREACT 137:232531
     A variety of 2,2,4-substituted-1,2-dihydroquinolines were synthesized from
     substituted anilines or aminoheterocycles and the corresponding ketones in
     good yield via the use of lanthanide catalysts and microwave technol.
     This method can be readily applied to the general synthesis of
     combinatorial libraries of dihydroquinolines.
     459169-97-2P 459170-00-4P
ΙT
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (microwave Skraup prepn. of dihydroquinolines)
RN
     459169-97-2 CAPLUS
     3H-Pyrrolo[3,2-f]quinoline, 6,7-dihydro-7,7,9-trimethyl- (9CI) (CA INDEX
CN
     NAME)
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RN 459170-00-4 CAPLUS 3H-Pyrrolo[3,2-f]quinoline, 7,9-diethyl-6,7-dihydro-7-methyl- (9CI) CN INDEX NAME)

RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 57 CAPLUS COPYRIGHT 2003 ACS L16

AN 2001:795458 CAPLUS

DΝ 136:102256

Oxindole-Based Inhibitors of Cyclin-Dependent Kinase 2 (CDK2): Design, ΤI Synthesis, Enzymatic Activities, and X-ray Crystallographic Analysis Bramson, H. Neal; Corona, John; Davis, Stephen T.; Dickerson, Scott H.; Edelstein, Mark; Frye, Stephen V.; Gampe, Robert T., Jr.; Harris, Phil A.; AU Hassell, Anne; Holmes, William D.; Hunter, Robert N.; Lackey, Karen E.; Lovejoy, Brett; Luzzio, Michael J.; Montana, Val; Rocque, Warren J.; Rusnak, David; Shewchuk, Lisa; Veal, James M.; Walker, Duncan H.; Kuyper, Lee F.

GlaxoSmithKline Inc., Research Triangle Park, NC, 27709, USA Journal of Medicinal Chemistry (2001), 44(25), 4339-4358 SO CODEN: JMCMAR; ISSN: 0022-2623

РΒ American Chemical Society

DT Journal

English LΑ

AB

CN

Two closely related classes of oxindole-based compds., 1H-indole-2,3-dione 3-phenylhydrazones and 3-(anilinomethylene)-1,3-dihydro-2H-indol-2-ones, were shown to potently inhibit cyclin-dependent kinase 2 (CDK2). The initial lead compd. was prepd. as a homolog of the 3-benzylidene-1,3dihydro-2H-indol-2-one class of kinase inhibitor. Crystallog. anal. of the lead compd. bound to CDK2 provided the basis for analog design. A semiautomated method of ligand docking was used to select compds. for synthesis, and a no. of compds. with low nanomolar inhibitory activity vs. CDK2 were identified. Enzyme binding determinants for several analogs were evaluated by X-ray crystallog. Compds. in this series inhibited CDK2 with a potency .apprx.10-fold greater than that for CDK1. Members of this class of inhibitor cause an arrest of the cell cycle and have shown potential utility in the prevention of chemotherapy-induced alopecia. 388627-23-4P 388627-25-6P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of 1H-indole-2,3-dione 3-phenylhydrazones and 3-(anilinomethylene)-1,3-dihydro-2H-indol-2-ones as inhibitors of cyclin-dependent kinase 2)

RN 388627-23-4 CAPLUS

Benzenesulfonamide, 4-[(2,3-dihydro-2-oxo-1H-pyrrolo[3,2-f]quinolin-1ylidene)hydrazino]-, hydrochloride (4:3) (9CI) (CA INDEX NAME)

3/4 HCl

RN 388627-25-6 CAPLUS

CN Benzenesulfonamide, 4-[[(2,3-dihydro-2-oxo-1H-pyrrolo[3,2-f]quinolin-1-ylidene)methyl]amino]- (9CI) (CA INDEX NAME)

IT 220904-94-9, 1H-Pyrrolo[3,2-f]quinoline-1,2(3H)-dione
388628-24-8

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 1H-indole-2,3-dione 3-phenylhydrazones and
3-(anilinomethylene)-1,3-dihydro-2H-indol-2-ones as inhibitors of
cyclin-dependent kinase 2)

RN 220904-94-9 CAPLUS

CN 1H-Pyrrolo[3,2-f]quinoline-1,2(3H)-dione (9CI) (CA INDEX NAME)

RN 388628-24-8 CAPLUS

CN 2H-Pyrrolo[3,2-f]quinolin-2-one, 1-[(dimethylamino)methylene]-1,3-dihydro-(9CI) (CA INDEX NAME)

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 10 OF 57 CAPLUS COPYRIGHT 2003 ACS

AN 1999:716681 CAPLUS

DN 132:49819

TI Synthesis and antitumor activity of water-soluble duocarmycin Bl prodrugs

AU Asai, Akira; Nagamura, Satoru; Kobayashi, Eiji; Gomi, Katsushige; Saito,

CS Tokyo Research Laboratories, Kyowa Hakko Kogyo Co., Ltd, Machida, 194-8533, Japan

SO Bioorganic & Medicinal Chemistry Letters (1999), 9(20), 2995-2998 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

GI

AB The water-sol. duocarmycin B1 prodrugs such as I (R = .beta.-D-glucopyranosyl; (OH)2OP; N-methylpiperazinylcarbonyl) were synthesized for improving biol. and pharmaceutical profiles of duocarmycin. Among these prodrugs, I (R = N-methylpiperazinylcarbonyl) exhibited potent antitumor activity against several human tumors in vivo.

IT 252959-11-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. and antitumor activity of water-sol. duocarmycin B1 prodrugs)

RN 252959-11-8 CAPLUS

CN 1H-Pyrrolo[3,2-f]quinoline-2-carboxylic acid, 2,3,6,7-tetrahydro-2-methyl-4-[[(4-methyl-1-piperazinyl)carbonyl]oxy]-1-oxo-6-[(5,6,7-trimethoxy-1H-indol-2-yl)carbonyl]-, methyl ester, (2R)- (9CI) (CA INDEX NAME)

RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

GI

CN

ΑN 1998:226505 CAPLUS 128:294622 DN Synthesis of duocarmycin SA by way of methyl 4-(methoxycarbonyl)oxy-3H-TI pyrrolo[3,2-f]quinoline-2-carboxylate as a tricyclic heteroaromatic intermediate ΑU Muratake, Hideaki; Tonegawa, Miyuki; Natsume, Mitsutaka Research Foundation Itsuu Laboratory, Tokyo, 158, Japan CS Chemical & Pharmaceutical Bulletin (1998), 46(3), 400-412 SO CODEN: CPBTAL; ISSN: 0009-2363 PΒ Pharmaceutical Society of Japan DT Journal English LΑ os CASREACT 128:294622

$$MeO_2C$$
 N
 MeO_2C
 N
 N
 OCO_2Me
 OCO_2Me
 OCO_2Me
 OCO_2Me

AB The new synthetic path proposed that a fully arom. I would afford the dihydropyridine deriv. II (X=Y = CH=CH, Z = CH2; X = CH2, Y=Z = CH=CH) on partial redn. and by making use of the double bonds formed, a hydroxyl group could be introduced at the required position either in a racemic or in an asym. way to yield III. The Stille coupling product obtained from the bromopyrrole with the stannylpyridine represented a potential precursor. Both Sharpless asym. dihydroxylation (AD) and Jacobsen's asym. epoxidn. were applied to II (X=Y=CH=CH, Z=CH2; X=CH2, Y=Z=CH=CH). At the best, 81% ee was obsd. in the AD reaction of II (X=Y = CH=CH, Z = CH2) using 2,5-diphenyl-4,6-bis(9-O-dihydroquinyl)pyrimidine [(DHQ)2PYR], but the product possessed an unnatural abs. configuration. Formal syntheses of (.+-.)-duocarmycin SA, natural (+)-duocarmycin SA and unnatural (-)-duocarmycin SA were accomplished via a tricyclic heteroarom. compd. I.

182180-07-0P 182180-11-6P 182180-61-6P 206115-48-2P 206115-49-3P 206115-55-1P 206115-56-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of duocarmycin SA via the tricyclic heteroarom. intermediate Me 4-(methoxycarbonyl)oxy-3H-pyrrolo[3,2-f]quinoline-2-carboxylate)

RN 3H-Pyrrolo[3,2-f]quinoline-2-carboxylic acid, 4-(2,2-dimethyl-1oxopropoxy)-, methyl ester (9CI) (CA INDEX NAME)

RN 182180-11-6 CAPLUS
CN 6H-Pyrrolo[3,2-f]quinoline-2,6-dicarboxylic acid, 3,7-dihydro-4[(methoxycarbonyl)oxy]-, dimethyl ester (9CI) (CA INDEX NAME)

RN 182180-61-6 CAPLUS
CN 3H-Pyrrolo[3,2-f]quinoline-2-carboxylic acid, 4-[(methoxycarbonyl)oxy]-,
methyl ester (9CI) (CA INDEX NAME)

RN 206115-48-2 CAPLUS
CN 3H-Pyrrolo[3,2-f]quinoline-2-carboxylic acid, 4-hydroxy-, methyl ester
(9CI) (CA INDEX NAME)

RN 206115-49-3 CAPLUS.
CN 3H-Pyrrolo[3,2-f]quinoline-2-carboxylic acid, 4-(phenylmethoxy)-3-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ MeO-C & & & N \\ \hline ph-CH_2 & & & O-CH_2-Ph \end{array}$$

RN 206115-55-1 CAPLUS

6H-Pyrrolo[3,2-f]quinoline-2,6-dicarboxylic acid, 3,7-dihydro-4-CN (phenylmethoxy)-3-(phenylmethyl)-, 2-methyl 6-(phenylmethyl) ester (9CI)

RN 206115-56-2 CAPLUS

6H-Pyrrolo[3,2-f]quinoline-2,6-dicarboxylic acid, 7-cyano-4-(2,2-dimethyl-CN 1-oxopropoxy)-3,7-dihydro-, dimethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & & & CN \\ \parallel & & & \\ MeO-C & & & \\ HN & & & \\ t-Bu-C-O & & \\ 0 & & \\ \end{array}$$

IT 206115-47-1P 206115-50-6P 206115-52-8P

206115-57-3P 206115-62-0P 206115-64-2P

206115-76-6P 206115-77-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of duocarmycin SA via the tricyclic heteroarom. intermediate Me

4-(methoxycarbonyl)oxy-3H-pyrrolo[3,2-f]quinoline-2-carboxylate)

RN206115-47-1 CAPLUS

3H-Pyrrolo[3,2-f]quinoline-2-carboxylic acid, 4-[[tris(1methylethyl)silyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

206115-50-6 CAPLUS RN

3H-Pyrrolo[3,2-f]quinoline-2-carboxylic acid, 4-(phenylmethoxy)-3,5-CN bis(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO-C} \\ \text{N} \\ \text{Ph-CH}_2 \\ \text{O-CH}_2\text{-Ph} \end{array}$$

206115-52-8 CAPLUS RN

3H-Pyrrolo[3,2-f]quinoline-2-carboxylic acid, 4-[(phenylmethoxy)methoxy]-3-CN [(phenylmethoxy)methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 206115-57-3 CAPLUS

6H-Pyrrolo[3,2-f]quinoline-2,6-dicarboxylic acid, 9-cyano-4-(2,2-dimethyl-CN 1-oxopropoxy)-3,7-dihydro-, dimethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
0 & NC \\
\parallel & & \\
MeO-C & & \\
HN & & & \\
t-Bu-C-O & \\
0 & & \\
\end{array}$$

RN 206115-62-0 CAPLUS

CN 6H-Pyrrolo[3,2-f]quinoline-2,6-dicarboxylic acid, 3,7-dihydro-4-(phenylmethoxy)-3-(phenylmethyl)-, dimethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ MeO-C & & & \\ N & & & \\ Ph-CH_2 & & & \\ O-CH_2-Ph & & \\ \end{array}$$

RN206115-64-2 CAPLUS

Boron, trihydro[methyl 4-(phenylmethoxy)-3-(phenylmethyl)-3H-pyrrolo[3,2f]quinoline-2-carboxylate-.kappa.N6]-, (T-4)- (9CI) (CA INDEX NAME)

MeO-C N
$$\frac{1}{1}$$
 H- $\frac{1}{1}$ H- $\frac{1}{1}$

RN

206115-76-6 CAPLUS 6H-Pyrrolo[3,2-f]quinoline-2,6-dicarboxylic acid, 7-cyano-4-(2,2-dimethyl-CN 1-oxopropoxy)-3,7-dihydro-, 2-methyl 6-(phenylmethyl) ester (9CI) (CA INDEX NAME)

RN 206115-77-7 CAPLUS

6H-Pyrrolo[3,2-f]quinoline-2,6-dicarboxylic acid, 9-cyano-4-(2,2-dimethyl-CN 1-oxopropoxy)-3,7-dihydro-, 2-methyl 6-(phenylmethyl) ester (9CI) (CA

INDEX NAME)

$$\begin{array}{c|c}
O & NC \\
\parallel & & \\
HN & & \\
t-Bu-C-O \\
O & \\
\end{array}$$

RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 20 OF 57 CAPLUS COPYRIGHT 2003 ACS

AN 1996:542111 CAPLUS

DN 125:275476

TI Alternative synthesis of duocarmycin SA using a tricyclic heteroaromatic intermediate prepared by palladium-catalyzed coupling reactions

AU Muratake, Hideaki; Tonegawa, Miyuki; Natsume, Mitsutaka

CS Research Foundation Itsuu Lab., Tamagawa, 158, Japan

SO Chemical & Pharmaceutical Bulletin (1996), 44(8), 1631-1633

CODEN: CPBTAL; ISSN: 0009-2363

PB Pharmaceutical Society of Japan

DT Journal

LA English

OS CASREACT 125:275476

GI

$$\mathsf{MeO_2C} \overset{\mathsf{N}}{\underset{\mathsf{H}}{\bigvee}} \overset{\mathsf{OMe}}{\underset{\mathsf{O}}{\bigvee}} \mathsf{OMe}$$

AB Alternative synthesis of duocarmycin SA I was achieved by developing a novel prepn. method using palladium catalysts for a tricyclic heteroarom. compd. II (XX1 = CH:CHCH:N), followed by transformation into the previously reported intermediates via the alc. II [XX1 = CH2CH(OH)CH2N(CO2Me)].

IT 182180-07-0P 182180-11-6P 182180-61-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

Ι

(novel prepn. of duocarmycin SA via the key tricyclic heteroarom. intermediate prepd. by palladium-catalyzed coupling reactions)

RN 182180-07-0 CAPLUS

CN 3H-Pyrrolo[3,2-f]quinoline-2-carboxylic acid, 4-(2,2-dimethyl-1-oxopropoxy)-, methyl ester (9CI) (CA INDEX NAME)

RN 182180-11-6 CAPLUS

6H-Pyrrolo[3,2-f]quinoline-2,6-dicarboxylic acid, 3,7-dihydro-4-CN [(methoxycarbonyl)oxy]-, dimethyl ester (9CI) (CA INDEX NAME)

RN 182180-61-6 CAPLUS

3H-Pyrrolo[3,2-f]quinoline-2-carboxylic acid, 4-[(methoxycarbonyl)oxy]-, CN methyl ester (9CI) (CA INDEX NAME)

L16 ANSWER 25 OF 57 CAPLUS COPYRIGHT 2003 ACS

1995:628107 CAPLUS ΔN

DN 123:82711

TI Structural chemistry of polycyclic heteroaromatic compounds. Part 6. Photoelectron spectra and electronic structures of polycyclic heptarenes: thienoquinolines and thienoisoquinolines

ΑU Marzinzik, A. L.; Rademacher, P.

Institute of Organic Chemistry, University of Essen, Essen, D-45117, CS Germany

Journal of Molecular Structure (1995), 351, 107-17 so CODEN: JMOSB4; ISSN: 0022-2860

PB Elsevier

DTJournal

LΑ

English AB The He(1) photoelectron spectra of 13 isomeric thienoquinolines and thienoisoquinolines and the .pi.-isoelectronic naphthothiophenes are reported and discussed. The assignments for the latter compds. are made by using the sulfur double-bond model taking phenanthrene (I) as the ref. mol. The shape and the energies of the .pi. MOs of thienoquinolines and thienoisoquinolines can be estd. from those of I by first-order perturbation theory. This concept is very useful for distinguishing isomeric thienoquinolines and thienoisoquinolines.

IT 233-03-4, Thieno[3,2-f]quinoline

RL: PRP (Properties)

(photoelectron spectra and electronic structures of thienoquinolines, thienoisoquinolines, and naphthothiophenes)

RN 233-03-4 CAPLUS

CN Thieno[3,2-f]quinoline (8CI, 9CI) (CA INDEX NAME)



ANSWER 30 OF 57 CAPLUS COPYRIGHT 2003 ACS L16

1989:75273 CAPLUS AN

DN 110:75273

ΤI Reactivity of 1H-pyrrolo[2.3-f]-3H-pyrrolo[3.2-f]quinolines and their derivatives

ΑU Gryaznov, A. P.

CS Mosk. S-Kh. Akad., Moscow, USSR

Izvestiya Timiryazevskoi Sel'skokhozyaistvennoi Akademii (1988), (3), 185-90

CODEN: ITSAA7; ISSN: 0021-342X

DT Journal

LΑ Russian

CASREACT 110:75273 os

GT

The reactivity of isomeric pyrroloquinolines I (R = H) and II (R = H) and AB their derivs. I (R = CHO) and II (R = CHO) were investigated. Thus, I (R = H) or II (R = H) reacted with HCHO and Me2NH to give I (R = CH2NMe2) and II (R = CH2NMe)2, resp. Also, I (R = CHO) and II (R = CHO) reacted with CH2(CO2H)2, NH2NHC(:S)NH2, and NH2OH.HCl to give I [R = CHZ, Z = CH(CO2H), NNHC(:S)NH2, NOH] and II (R = CHZ), resp. 232-85-9, 3H-Pyrrolo[3,2-f]quinoline

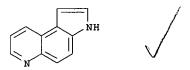
IT

RL: RCT (Reactant); RACT (Reactant or reagent)

(formylation or aminomethylation of, reactivity in relation to)

RN 232-85-9 CAPLUS

3H-Pyrrolo[3,2-f]quinoline (8CI, 9CI) (CA INDEX NAME) CN



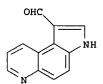
IT 118644-73-8P, 1-Formyl-3H-pyrrolo[3,2-f] quinoline

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and condensation reactions of, reactivity in relation to)

118644-73-8 CAPLUS

3H-Pyrrolo[3,2-f]quinoline-1-carboxaldehyde (9CI) (CA INDEX NAME) CN



118644-80-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 118644-71-6 CAPLUS

CN 3H-Pyrrolo[3,2-f]quinoline-1-methanamine, N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 118644-75-0 CAPLUS

CN 2-Propenoic acid, 3-(3H-pyrrolo[3,2-f]quinolin-1-yl)- (9CI) (CA INDEX

RN 118644-77-2 CAPLUS

RN 118644-80-7 CAPLUS

CN 3H-Pyrrolo[3,2-f]quinoline-1-carboxaldehyde, oxime, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L16 ANSWER 35 OF 57 CAPLUS COPYRIGHT 2003 ACS

AN 1982:64053 CAPLUS

DN 96:64053

TI Isolation and identification of aza-arenes of tobacco smoke

AU Snook, M. E.; Fortson, P. J.; Chortyk, O. T.

CS Educ. Adm., USDA, Athens, GA, 30613, USA

D Beitraege zur Tabakforschung International (1981), 11(2), 67-78 CODEN: BTAID3; ISSN: 0173-783X

DT Journal

LA English

AB The N analogs of polynuclear arom. hydrocarbons (aza-arenes) were isolated and identified in a basic fraction of cigaret smoke condensate. Silicic acid chromatog. removed the predominant nicotine alkaloids, while gel chromatog. on Bio-Beads S-X12 in benzene effectively sepd. the aza-arenes

from interfering aliph. compds. In addn., the gel columns sepd. the aza-arenes by ring no. and degree of alkylation on the basis of an adsorption-type mechanism. These gel characteristics facilitated the identifications of a large no. of isomeric aza-arenes. Compds. identified included 2-vinylpyridine [100-69-6], 3-vinylpyridine [1121-55-7], and 2-phenylpyridine [1008-89-5] as well as quinoline [91-22-5], isoquinoline [119-65-3], 4-azafluorene [244-99-5], benzoquinolines, benzoisoquinolines, 1-azafluoranthene [206-56-4], 7-azafluoranthene [206-49-5], 4-azapyrene [194-03-6], 7-azaindole [271-63-6], pyrroloquinoline, and their mono-, di-, and tri-Me derivs. All 8 possible isomers of benzoquinoline and benzoisoquinoline were found, 4 of which are being reported for the 1st time. Evidence was also found for the probable presence of 5,6-benzo-7-azaindole [110-86-1].

IT 232-85-9

RL: ANST (Analytical study)

(of tobacco smoke, isolation and identification of)

RN 232-85-9 CAPLUS

CN 3H-Pyrrolo[3,2-f]quinoline (8CI, 9CI) (CA INDEX NAME)

L16 ANSWER 40 OF 57 CAPLUS COPYRIGHT 2003 ACS

AN 1980:146650 CAPLUS

DN 92:146650

TI Nitropyrroloquinolines

AU Yudin, L. G.; Yamashkin, S. A.; Terent'ev, P. B.; Solov'ev, O. A.

CS Mosk. Gos. Univ., Moscow, 117234, USSR

SO Khimiya Geterotsiklicheskikh Soedinenii (1979), (10), 1381-5

CODEN: KGSSAQ; ISSN: 0453-8234

DT Journal

LA Russian

GΙ

AB Treatment of aminoindoles I (R = H, Me; R1 = 5-H2N, 6-NH2) with O2NCH(CHO)2 gave 79-86% I [R = H, Me; R1 = 5-, 6-OCHC(NO2):CHNH] (II) . Cyclocondensation of C-5 substituted II gave a mixt. of pyrroloquinolines III and IV in a 4:1 molar ratio. Similarly, C-6 substituted II (R = Me) gave a mixt. of V and VI in a 3:1 molar ratio. Mass spectra of III -VI were given.

72793-29-4P 72793-30-7P IT

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of) 72793-29-4 CAPLUS RN

3H-Pyrrolo[3,2-f]quinoline, 1,2-dimethyl-8-nitro- (9CI) (CA INDEX NAME) CN

$$\begin{array}{c|c} & \text{Me} & \text{Me} \\ & \text{O}_2N & & \\ & NH & & \\ & & \\ & & & \\ & \\ & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

72793-30-7 CAPLUS RN

3H-Pyrrolo[3,2-f]quinoline, 2-methyl-8-nitro- (9CI) (CA INDEX NAME) CN

$$\begin{array}{c|c} & \text{Me} & \\ & & \\ \downarrow 0 & \\ & & \\ N & & \\ \end{array}$$

L16 ANSWER 45 OF 57 CAPLUS COPYRIGHT 2003 ACS

AN 1977:468196 CAPLUS

87:68196 DN

Pyrroloquinolines. II. Synthesis of 1H-pyrrolo[2,3-f] - and ΤI

3H-pyrrolo[3,2-f]quinolines

Gryaznov, A. P.; Akhvlediani, R. N.; Volodina, T. A.; Vasil'ev, A. M.; ÁU

Babushkina, T. A.; Suvorov, N. N. Mosk. Khim.-Tekhnol. Inst. im. Mendeleeva, Moscow, USSR CS

Khimiya Geterotsiklicheskikh Soedinenii (1977), (3), 369-76 SO

CODEN: KGSSAQ; ISSN: 0132-6244

DTJournal

Russian LA

GI

AΒ Hydrazinoquinoline I (X = H2), prepd. in 78% yield from the nitro deriv., was condensed with MeCOCO2Me to give 94% I (X = CMeCO2Et) as a mixt. of stereoisomers. Subsequent cyclization and sapon. gave 57% II (R = CO2H) which was decarboxylated to give 95% II (R = H). Analogously obtained was 95% III.

IT 63385-16-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and decarboxylation of) 63385-16-0 CAPLUS

RN

3H-Pyrrolo[3,2-f]quinoline-2-carboxylic acid (9CI) (CA INDEX NAME) CN

CRN 29948-24-1 CMF C12 H9 N S

```
232-85-9P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of)
RN
     232-85-9 CAPLUS
     3H-Pyrrolo[3,2-f]quinoline (8CI, 9CI) (CA INDEX NAME)
CN
                        Jun Calin
    ANSWER 50 OF 57 CAPLUS COPYRIGHT 2003 ACS
AN
     1971:3531 CAPLUS
     74:3531
DN
TI
     Substitution reactions of thieno[3,2-f]quinoline
     Chapman, Norman Bellamy; Clarke, Kenneth; Sharma, K. S. Dep. Chem., Univ. Hull, Hull, UK
AU
CS
     Journal of the Chemical Society [Section] C: Organic (1970), (17), 2334-9
     CODEN: JSOOAX; ISSN: 0022-4952
DT
     Journal
LΑ
     English
     Mononitration, monobromination, and Friedel-Crafts acylation of
AB
     thieno[3,2-f]quinoline occurred in the 2-position; dibromination in H2SO4
     gave the 1,2-dibromo deriv. The Hunsdiecker reaction of
     thieno[3,2-f]quinoline-2-carboxylic acid gave a mixt. of
     1,2,5-tribromothieno[3,2-f]quinoline and 5-bromothieno[3,2-f]quinoline-2-
     carboxylic acid in addn. to unchanged starting material. Bromination of
     Na thieno[3,2-f]-quinoline-2-carboxylate gave the 5-bromo acid.
     N-Methylthieno[3,2-f]quinolinium hydrogen sulfate was oxidized to
     N-methylthieno[3,2-f]quinolin-7-one, which, on treatment with PCl5, gave
     7-chlorothieno[3,2-f]quinoline. However, the methosulfate reacted with
     aq. KCN to give 6,9-dihydro-N-methylthieno[3,2-f]quinoline-9-carbonitrile
     which, when oxidized with iodine in pyridine yielded 9-cyano-N-
     methylthieno[3,2-f]quinolinium iodide. Demethylation gave thieno[3,2-f]quinoline-9-carbonitrile. The Reissert compd. derived from
     thieno[3,2-f]-quinoline reacted with PCl5 to yield thieno[3,2-f]quinoline-
     7-carbonitrile, which on hydrolysis with HCl yielded the 7-carboxylic
     acid.
     29948-24-1P 29948-25-2P 29948-26-3P
     29948-27-4P 29970-37-4P 29970-38-5P
     29970-39-6P 29970-40-9P 29970-43-2P
     29970-44-3P 29970-45-4P 29970-46-5P
     29970-47-6P 29970-48-7P 29970-50-1P
     29970-51-2P
     RL: SPN (Synthetic preparation); PREP (Preparation)
         (prepn. of)
     29948-24-1 CAPLUS
RN
     Thieno[3,2-f]quinoline, 1-methyl- (8CI) (CA INDEX NAME)
     29948-25-2 CAPLUS
     Thieno [3,2-f] quinoline, 1-methyl-, monopicrate (8CI) (CA INDEX NAME)
     CM
```

CM 2

CRN 88-89-1 CMF C6 H3 N3 O7

RN 29948-26-3 CAPLUS

CN Thieno[3,2-f]quinoline-2-carboxylic acid, ethyl ester (8CI, 9CI) (CA INDEX NAME)

RN 29948-27-4 CAPLUS

CN Thieno[3,2-f]quinoline-2-carbonitrile (8CI) (CA INDEX NAME)

RN 29970-37-4 CAPLUS

CN Thieno[3,2-f]quinoline, 2-bromo- (8CI) (CA INDEX NAME)

RN 29970-38-5 CAPLUS

CN Thieno[3,2-f]quinoline, 2-nitro- (8CI) (CA INDEX NAME)

29970-39-6 CAPLUS RN

Ketone, methyl thieno[3,2-f]quinolin-2-yl (8CI) (CA INDEX NAME) CN

29970-40-9 CAPLUS RN

Ketone, methyl thieno[3,2-f]quinolin-2-yl, (2,4-dinitrophenyl)hydrazone (8CI) (CA INDEX NAME) CN

29970-43-2 CAPLUS

Thieno[3,2-f]quinolinium, 6-methyl-, methyl sulfate (8CI) (CA INDEX NAME) CN

CM

CRN 46255-83-8 CMF C12 H10 N S

2 CM

CRN 21228-90-0 CMF C H3 O4 S

Me-0-SO3-

RN 29970-44-3 CAPLUS

CNThieno[3,2-f]quinolin-7(6H)-one, 6-methyl- (8CI) (CA INDEX NAME)

29970-45-4 CAPLUS RN

Thieno[3,2-f]quinoline, 7-chloro- (8CI) (CA INDEX NAME)

RN 29970-46-5 CAPLUS
CN Thieno[3,2-f]quinoline-7-carbonitrile, 6-benzoyl-6,7-dihydro- (8CI) (CA INDEX NAME)

RN 29970-47-6 CAPLUS CN Thieno[3,2-f]quinoline-7-carbonitrile (8CI, 9CI) (CA INDEX NAME)

RN 29970-48-7 CAPLUS CN Thieno[3,2-f]quinoline-7-carboxylic acid, methyl ester (8CI) (CA INDEX NAME)

RN 29970-50-1 CAPLUS CN Thieno[3,2-f]quinoline-9-carbonitrile (8CI) (CA INDEX NAME)

RN 29970-51-2 CAPLUS CN Thieno[3,2-f]quinoline-7-carboxylic acid (8CI) (CA INDEX NAME)

IT 233-03-4
 RL: RCT (Reactant); RACT (Reactant or reagent)

(substitution reactions of)

RN 233-03-4 CAPLUS

Thieno[3,2-f]quinoline (8CI, 9CI) (CA INDEX NAME) CN

L16 ANSWER 55 OF 57 CAPLUS COPYRIGHT 2003 ACS

1962:38406 CAPLUS

56:38406

OREF 56:7266a-h

Synthesis of 4,6-diaminoquinoline derivatives. I. Synthesis of

pyrrolo[f]quinoline derivatives

ΑU Yoshikawa, Toshiyoshi

CS Univ. Kumamoto

Yakugaku Zasshi (1961), 81, 1317-22 so

CODEN: YKKZAJ; ISSN: 0031-6903

DTJournal Unavailable J.A 3,4-Br(H2N)C9H5N (4.5 g.) in 30 ml. concd. H2SO4 at 0-3.degree. treated AB dropwise with a mixt. of 1.47 ml. HNO3 (d. 1.42) and 10 ml. concd. H2SO4, stirred 1 hr., the product poured on ice, made alk. with Na2CO3, and the ppt. recrystd. (Me2CO) gave 62% 2,4,6-Br(H2N)(O2N)C9H4N (I), m. 289.degree.. Catalytic redn. of I in EtOH acidified with HCl (Pd-C) gave 96% 4,6-(H2N)2C9H5N.2HCl, (II), needles, m. 319-20.degree. (decompn.). II (0.5 g.) in 0.8 ml. concd. HCl and 1 g. ice below 0.degree. treated dropwise with 0.17 g. NaNO2 in 10 ml. H2O at 5.degree., the diazonium salt soln. poured into 1.31 g. Na2SO3 in 10 ml. H2O at 0.degree., kept at 20.degree., dild. with 2 vols. H2O, acidified with HCl, heated 4 hrs. at 60-70.degree., cooled, equal vol. of concd. HCl added, the ppt. filtered off, taken up in a small amt. of H2O, filtered with C, and the filtrate treated with a small amt. of EtOH and satd. with HCl gas at 0.degree. gave 0.51 g. 4,6-H2N(H2NNH)C9H5N.2HCl (III), needles, m. 302.degree. (decompn.). III (0.15 g.) in H2O treated with PhCHO in EtOH and the ppt. filtered off gave 44% 4,6-H2N(R:NNH)C9H5N (IV) (R = PhCH), needles, m. 104.degree.. A mixt. of 0.1 g. III, 0.15 g. AcONa.3H2O, and 0.06 g. vanillin in EtOH reacted at room temp. to give 38% IV [R = 3,4-MeO(HO)C6H3CH], plates, m. 106.degree. (decompn.) (EtOH). Reaction of 0.2 g. III, 0.15 g. AcCO2H, and 0.4 g. AcONa.3H2O at room temp. and addn. of 1 ml. concd. HCl gave IV [R = Me(HO2C)C] as the HCl salt, m. 229-30.degree. (decompn.). III (0.5 g.) in Me2CO and 0.56 g. AcONa.3H2O refluxed 30 min., Me2CO removed, the residue made alk. with K2CO3, and the ppt. washed with EtOH gave 0.5 g. IV (R = Me2C) (V). m. 198.degree.. III (0.3 g.) in H2O, 0.15 g. PhCOMe in EtOH, and 0.3 g. AcONa.3H2O refluxed 1.5 hrs. gave 0.2 g. IV (R = PhMeC), needles, m. 178.degree.. A mixt. of 0.5 g. V, 1 g. ZnCl2, and 3 ml. p-cymene refluxed 2.5 hrs. at 200-10.degree. in N, the p-cymene decanted, and the residue extd. with abs. EtOH gave 29% 2-methyl-9-amino-3H-pyrrolo[3,2-f]quinoline (VI).ZnCl2, needles, m. 117.degree.. VI.ZnCl2 (0.13 g.) in 25% KOH refluxed, the soln. concd., and the residue crystd. (EtOH) gave 81% VI, m. 105.degree. (decompn.). 6-(Me2C:NNH)C9H6N (1 g.), 2 g. ZnCl2, and 6 ml. p-cymene heated 2.5 hrs. at 175-80.degree. and concd. gave 0.6 g. ppt., m. 175-8.degree.; this extd. with CHCl3, and filtered with C, and the filtrate chromatographed (Al2O3) gave 36% 2-methyl-3H-pyrrolo[3,2f]quinoline (VII), m. 198.degree. (C6H6); the CHCl3-insol. residue extd. with EtOH gave VII.ZnCl2, m. 267.degree.. IV (R = PhMeC) (0.2 g.), 0.5 g. ZnCl2, and 2 ml. p-cymene heated 2.5 hrs. at 190-200.degree. and the product treated as above gave 37% 2-phenyl-9-amino-3H-pyrrolo[3,2f]quinoline-2H2O, needles, m. 110.degree. (Me2CO-Et2O). III (0.41 g.), 0.02 g. cyclohexanone in EtOH, and 0.03 g. AcONa.3H2O refluxed 50 min., the soln. made alk. with concd. NH1OH, the oily portion taken up in AcOH, heated 10 min. at 75-80.degree. with 2 drops concd. H2SO4, and the soln. poured into ice H2O gave 1-amino-8,9,10,11-tetrahydro-7H-pyrido[2,3c]carbazole-0.5H2SO4.H2O, prisms, m. 345.degree. (decompn.) (MeOH). IT 232-85-9, 3H-Pyrrolo[3,2-f]quinoline (derivs.)

232-85-9 CAPLUS RN

3H-Pyrrolo[3,2-f]quinoline (8CI, 9CI) (CA INDEX NAME) CN

RN 96418-17-6 CAPLUS CN 3H-Pyrrolo[3,2-f]quinoline, 2-methyl- (7CI) (CA INDEX NAME)

RN 97789-00-9 CAPLUS
CN 3H-Pyrrolo[3,2-f]quinoline, 9-amino-2-phenyl- (7CI) (CA INDEX NAME)

ANSWER 57 OF 57 CAPLUS COPYRIGHT 2003 ACS L16 1948:32069 CAPLUS AN DN 42:32069 OREF 42:6823g-i,6824a-c The constitution of calycanthines. II. Synthesis and absorption spectra of the compound C12H10N2 AU Eiter, K. CS Univ. Vienna so Monatsh. (1948), 79, 17-21 DT Journal LA Unavailable GΙ For diagram(s), see printed CA Issue. Pure 2-carboline (VI), m. 215.degree. (0.2 g.), 0.0274 g. Na, and 3 cc. freshly distd. C10H7Me (VII) were heated 5 hrs. in an open tube at 200-10.degree., 0.5 cc. MeI added, the tube sealed and heated overnight at 100.degree., VII removed in vacuo, and the residue distd. to give at 140.degree. a yellow oil (VIII) which crystd. VIII on refractionation gave 0.1175 g. N-methyl-2-carboline (IX), a blue fluorescing oil distg. at 110-30.degree., m. 53.degree. (from Et20-petr. ether). IX, also prepd. by heating 0.156 g. VI and 0.5 cc. MeI in a sealed tube 12 hrs. at 100.degree. (yield, 0.019 g.), was a pale yellow oil distg. at 90-100.degree.; picrate, yellow needles, m. 225.degree. (decompn.) (from alc.). 4-Carboline (X), m. 225.degree. (0.2 g.), 0.0274 g. Na, and freshly distd. VII treated as above with 0.5 cc. MeI gave 50 mg. N-methyl-4-carboline (XI), colorless oil, distg. at 130-50.degree., 88.degree.. From 0.1 g. X and MeI as above was obtained 0.021 g. XI;

picrate, needles, m. 261.degree. (decompn.) (from EtOH). IV was shown by mixed m.p. not to be IX or XI. The absorption curve of IV in dioxane showed 2 max. at 306 and 242 m.mu., and some similarity to the curves of pyrroquinolines (C.A. 33, 8202.1). For spectral comparison 2 unsuccessful attempts were made to prep. N-methylpyrroquinoline (XII); pyrroquinolinecarboxylic acid fused with ZnCl2 gave the free pyrroquinoline (XIII) but treatment of XIII with (1) Na or K in VII, followed by MeI, or (2) MeI at high temp. gave no XII. The reaction of XIII with H2CO and HCO2H was also unsuccessful. The authors propose XIV and XV as possible structures of IV.

IT 232-85-9, 3H-Pyrrolo[3,2-f]quinoline

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CN 3H-Pyrrolo[3,2-f]quinoline (8CI, 9CI) (CA INDEX NAME)

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